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186668

ACCESS DB #

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Scientific and Technical Information Center

## SEARCH REQUEST FORM

Requester's Full Name: Gembel Shirley (Examiner #: 90889) Date: 4/22/06  
Art Unit: 1614 Phone Number: 2-8504 Serial Number: 101233802 10152347  
Location (Bldg/Room#): \_\_\_\_\_ (Mailbox #): \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: M3 Muscarinic acetylcholine receptor antago.  
Inventors (please provide full names): Dramane I. Laine et al

Earliest Priority Date: 8/6/02

## Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search all species of the claimed compound.

A quick & dirty search indicates the election of the compound is

2-4 chloro-1-methyl-2-yl) phenyl] carbamic acid piperidin-4-ylmethyl ester is novel.

See attached claims highlighted compound is elected.

## STAFF USE ONLY

Searcher: Beverly C 2528 Type of Search: \_\_\_\_\_ Vendors and cost where applicable: \_\_\_\_\_  
Searcher Phone #: \_\_\_\_\_ NA Sequence (#) \_\_\_\_\_ ☒ STN \_\_\_\_\_ Dialog \_\_\_\_\_  
Searcher Location: \_\_\_\_\_ AA Sequence (#) \_\_\_\_\_ Questel/Orbit \_\_\_\_\_ Lexis/Nexis \_\_\_\_\_  
Date Searcher Picked Up: \_\_\_\_\_ Structure (#) \_\_\_\_\_ Westlaw \_\_\_\_\_ WWW/Internet \_\_\_\_\_  
\_\_\_\_\_ Bibliographic \_\_\_\_\_ In-house sequence systems \_\_\_\_\_  
Date Completed: \_\_\_\_\_ Litigation \_\_\_\_\_ Commercial \_\_\_\_\_ Oligomer \_\_\_\_\_ Score/Length \_\_\_\_\_  
\_\_\_\_\_ Interference \_\_\_\_\_ SPDI \_\_\_\_\_ Encode/Transl \_\_\_\_\_  
Searcher Prep & Review Time: \_\_\_\_\_ Fulltext \_\_\_\_\_ Other (specify) \_\_\_\_\_  
Online Time: \_\_\_\_\_ Other \_\_\_\_\_

10/523478

FILE 'REGISTRY' ENTERED AT 16:53:37 ON 24 APR 2006  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2006 HIGHEST RN 881543-45-9  
DICTIONARY FILE UPDATES: 23 APR 2006 HIGHEST RN 881543-45-9

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

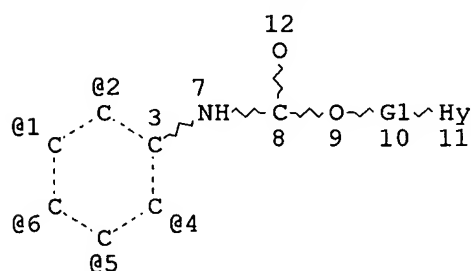
Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

L1

STR



Hy @13

Str  
Form. I.

REP G1=(1-2) C  
VPA 13-1/2/4/5/6 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 11  
ECOUNT IS E3 C E1 N E1 S AT 13

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED

10/523478

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L2 151 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 176640 ITERATIONS

151 ANSWERS

SEARCH TIME: 00.00.03

FILE 'CAPLUS' ENTERED AT 16:53:37 ON 24 APR 2006

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FILE COVERS 1907 - 24 Apr 2006 VOL 144 ISS 18

FILE LAST UPDATED: 23 Apr 2006 (20060423/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

L3 4 S L2

L4 2 S L3 NOT (PY=>2002 OR PD=>20020806)

← Restrict to hits dated prior to 08-06-02

E1 THROUGH E2 ASSIGNED

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:351518 CAPLUS

DOCUMENT NUMBER: 133:4650

TITLE: Preparation of heteroaryl-substituted aromatic compounds as antiherpes compounds

INVENTOR(S): Simoneau, Bruno; Guite, James J.; Faucher, Anne-Marie; Grygon, Christine A.; Hargrave, Karl D.; Thavonekham, Bounkham

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000029399	A1	20000525	WO 1999-CA1066	19991109
W: CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

Searcher : Shears 571-272-2528

10/523478

PRIORITY APPLN. INFO.:

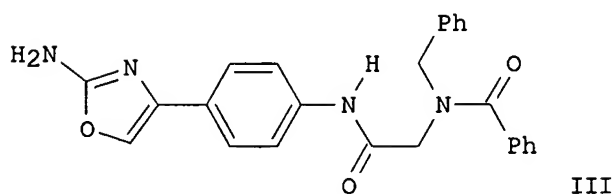
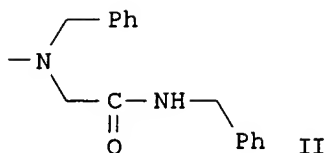
US 1998-108272P

P 19981112

OTHER SOURCE(S):

MARPAT 133:4650

GI



AB The title compds. X-Aryl-Y-Z [I; X = 5-6 membered aromatic heterocycle; Aryl = (un)substituted Ph, pyridyl; Y is absent or a bridging group, for example NHC(O)CH<sub>2</sub>; Z is a terminal group, for example NHCO<sub>2</sub>t-Bu or II], which inhibit the herpes helicase-primase enzyme, rendering the compds. useful as antiviral agents, were prepared E.g., a multi-step synthesis of benzamide III was presented. Biol. data (IC<sub>50</sub> and/or EC<sub>50</sub> against HSV-1 and HCMV) for compds. I were given.

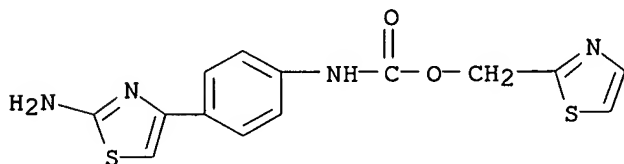
IT 270566-40-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl-substituted aromatic compds. as antiherpes compds.)

RN 270566-40-0 CAPLUS

CN Carbamic acid, [4-(2-amino-4-thiazolyl)phenyl]-, 2-thiazolylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

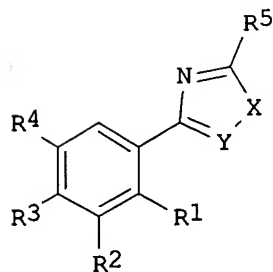
Searcher : Shears 571-272-2528

10/523478

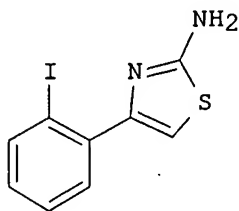
ACCESSION NUMBER: 1999:549264 CAPLUS  
 DOCUMENT NUMBER: 131:184944  
 TITLE: Preparation of phenyl and aryl-fused thiazole derivatives as antiviral agents for suppression and treatment of herpes family viral infections and sexually-transmitted viral diseases  
 INVENTOR(S): Flygare, John A.; Jaen, Juan C.; Kearney, Patrick C.; Medina, Julio C.; Sivaraja, Mohanram  
 PATENT ASSIGNEE(S): Tularik Inc., USA  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942455	A1	19990826	WO 1999-US2947	19990210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9932892	A1	19990906	AU 1999-32892	19990210
PRIORITY APPLN. INFO.:			US 1998-75224P	P 19980219
			WO 1999-US2947	W 19990210

OTHER SOURCE(S): MARPAT 131:184944  
 GI



I



II

AB Ph and aryl-fused thiazole derivs. (I) [where X = S, O, NH, or N-lower alkyl; Y = (un)substituted CH or N; or XY = triat. divalent unit of CH, C-alkyl, and N (3 subunits may not all be N); R1 = H, lower alkyl, or taken together with Y forms a 5- or 6-membered ring; R2, R3, and R4 = independently H, (hetero)alkyl, (hetero)arylalkyl, halogen, CN, NO2, (aryl)alkoxy, (un)substituted sulfamoyl, (un)substituted amino, OH, etc.; R5 = H, lower (aryl)alkyl, aryl, (un)substituted amino; with

Searcher : Shears 571-272-2528

provisos] were prepared as antiviral agents useful in the suppression and treatment of sexually-transmitted viral diseases and herpes family viral infections, especially HSV1, HSV2, Epstein Barr virus, and varicella zoster virus. Thus, 2-iodophenacyl bromide was added to thiourea in dioxane and stirred at room temperature for eight hours to yield 2-amino-4-(2-iodophenyl)thiazole (II). Nine compds. of the invention were tested for antiviral activity using an HSV-1 gel primase assay and exhibited IC50 values ranging from 5  $\mu$ M to 100  $\mu$ M.

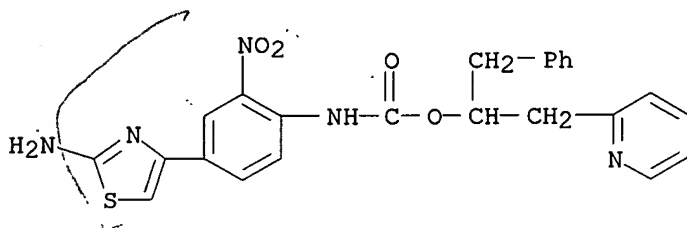
IT 240136-45-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph and aryl-fused thiazole derivs. as antiviral agents for herpes family viral infections and sexually-transmitted viral diseases)

RN 240136-45-2 CAPLUS

CN Carbamic acid, [4-(2-amino-4-thiazolyl)-2-nitrophenyl]-, 1-(phenylmethyl)-2-(2-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 16:54:30 ON 24 APR 2006  
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FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L5 0 L2

FILE 'USPATFULL' ENTERED AT 16:54:35 ON 24 APR 2006  
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 20 Apr 2006 (20060420/PD)  
FILE LAST UPDATED: 20 Apr 2006 (20060420/ED)  
HIGHEST GRANTED PATENT NUMBER: US7032245  
HIGHEST APPLICATION PUBLICATION NUMBER: US2006085880  
CA INDEXING IS CURRENT THROUGH 20 Apr 2006 (20060420/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 20 Apr 2006 (20060420/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2006

L6 3 L2

L6 ANSWER 1 OF 3 USPATFULL on STN

ACCESSION NUMBER: 2006:41281 USPATFULL  
TITLE: Compounds having beta adrenergic receptor agonist  
and muscarinic receptor antagonist activity  
INVENTOR(S): Mammen, Mathai, Redwood Shores, CA, UNITED STATES  
Mischki, Trevor, Ottawa, CANADA  
Hughes, Adam, Belmont, CA, UNITED STATES  
Ji, Yu-Hua, Redwood City, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006035933	A1	20060216
APPLICATION INFO.:	US 2005-204263	A1	20050815 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-601779P	20040816 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	THERAVANCE, INC., 901 GATEWAY BOULEVARD, SOUTH SAN FRANCISCO, CA, 94080, US	
NUMBER OF CLAIMS:	41	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4900	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides compounds of formula I: ##STR1##  
wherein R.sup.1, R.sup.2, R.sup.4, R.sup.5, R.sup.6, R.sup.7,  
R.sup.8a, R.sup.8b, W, a, b, c and m are as defined in the  
specification, or a pharmaceutically acceptable salt or solvate or  
stereoisomer thereof. The compounds of this invention possess both  
 $\beta$ .sub.2 adrenergic receptor agonist and muscarinic receptor  
antagonist activity. Accordingly, such compounds are expected to be  
useful as therapeutic agents for treating pulmonary disorders, such  
as chronic obstructive pulmonary disease and asthma.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 2 OF 3 USPATFULL on STN

ACCESSION NUMBER: 2005:318933 USPATFULL  
TITLE: M3muscarinic acetylcholine receptor antagonists  
INVENTOR(S): Laine, Dramane I., King of Prussia, PA, UNITED  
STATES  
Bell, Richard, Stevenage, UNITED KINGDOM  
Busch-Petersen, Jakob, King of Prussia, PA, UNITED  
STATES  
Palovich, Michael R., King of Prussia, PA, UNITED  
STATES

10/523478

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005277676	A1	20051215
APPLICATION INFO.:	US 2003-523478	A1	20030806 (10)
	WO 2003-US24569		20030806
			20050204 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-60401756	20020806
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SMITHKLINE BEECHAM CORPORATION, CORPORATE INTELLECTUAL PROPERTY-US, UW2220, P. O. BOX 1539, KING OF PRUSSIA, PA, 19406-0939, US	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3908	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	M.sub.3 Muscarinic Acetylcholine Receptor Antagonists and methods of using them are provided.	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 3 OF 3 USPATFULL on STN  
ACCESSION NUMBER: 2002:238064 USPATFULL  
TITLE: Handle structure for a snowboard  
INVENTOR(S): Carr, Donald W., 339 Scott Ave., Syracuse, NY,  
United States 13224

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6450512	B1	20020917
APPLICATION INFO.:	US 1998-75224		19980511 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Mar, Michael		
LEGAL REPRESENTATIVE:	Bollman, William H.		
NUMBER OF CLAIMS:	12		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 6 Drawing Page(s)		
LINE COUNT:	433		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A sportsboard such as a snowboard or wakeboard includes board structure having a handle structure defining a generally smooth surface to be grasped by at least a portion of a hand of the user such that (1) the user may remain in contact with the board structure when the bottom surface of the board structure is out of contact with the medium being ridden and (2) the board structure may be transported by hand more easily by the user. In one embodiment, an opening sufficiently sized to receive the forefingers of a rider's hand is formed in at least one end of the sportsboard. In another embodiment, at least two openings are formed on at least one end of the sportsboard, the two openings being on opposite sides of a lengthwise center axis of the sportsboard. In a third embodiment, at least one end of the sportsboard is rolled-up sufficiently to form a lip which can be grasped by the thumb or forefingers of a rider. In another embodiment, a grip member is formed on an outer edge of at least one end of the sportsboard to allow easy gripping,



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particularly when performing aerial tricks. In other embodiments, a separately molded handle structure is mounted to an upper surface of the sportsboard. Methods of modifying convention sportsboards to provide handle structure thereon are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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FILE 'BIOSIS' ENTERED AT 16:54:49 ON 24 APR 2006  
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L7                    0 L2

FILE 'MARPAT' ENTERED AT 16:54:55 ON 24 APR 2006  
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FILE CONTENT: 1961-PRESENT VOL 144 ISS 16 (20060421/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

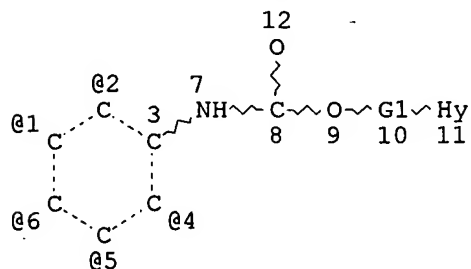
US	2006035965	16	FEB	2006
DE	102005008856	09	FEB	2006
EP	1624071	08	FEB	2006
JP	2006050780	16	FEB	2006
WO	2006026533	09	MAR	2006
GB	2416167	18	JAN	2006
FR	2874024	10	FEB	2006
RU	2269538	10	FEB	2006
CA	2512063	14	JAN	2006

Expanded G-group definition display now available.

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L8

STR



REP G1=(1-2) C  
VPA 13-1/2/4/5/6 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM

Searcher : Shears 571-272-2528

10/523478

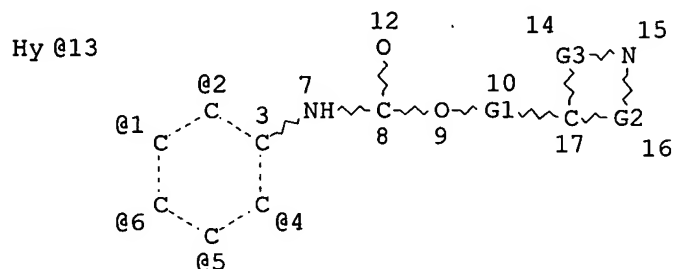
MLEVEL IS CLASS AT 11 13  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 11  
ECOUNT IS E3 C E1 N E1 S AT 13

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L10 42 SEA FILE=MARPAT SSS FUL L8 (MODIFIED ATTRIBUTES)  
L11 STR



REP G1=(1-2) C  
REP G2=(1-2) C  
REP G3=(1-2) C  
VPA 13-1/2/4/5/6 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 13  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS E3 C E1 N E1 S AT 13

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L12 12 SEA FILE=MARPAT SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)  
L13 9 SEA FILE=MARPAT ABB=ON PLU=ON L12/COMPLETE

L13 ANSWER 1 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:56307 MARPAT

TITLE: Preparation of hydantoin derivatives as inhibitors  
of tumor necrosis factor- $\alpha$  converting enzyme  
(tace)

INVENTOR(S): Duan, Jingwu; Xue, Chu-Biao; Sheppeck, James;  
Jiang, Bin; Chen, Lihua

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

Searcher : Shears 571-272-2528

← Restrict to cites  
w/ complete iteration

SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108086	A2	20041216	WO 2004-US17538	20040603
WO 2004108086	A3	20050331		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004254231	A1	20041216	US 2004-858978	20040602
EP 1628974	A2	20060301	EP 2004-776254	20040603
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
PRIORITY APPLN. INFO.:			US 2003-476287P	20030605
			WO 2004-US17538	20040603

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The authors prepared hydantoin derivs. I [R1 = Q, C1-C6 alkylene-Q, (CRaRa1)tNRaSO2NRa(CRaRa1)s-Q, etc.; L = bond, CO, (CR2R3)m, R2 = Q1, C2-C6 alkenylene-Q1, C2-C6 alkynylene-Q1, (CRaRa1)rOC(O)NRa(CRaRa1)s-Q1, etc.; R3 = Q, C1-C6 alkylene-Q, C2-C6 alkenylene-Q, C2-C6 alkynylene-Q, (CRaRa1)rO(CRaRa1)s-Q, etc.; Q = H, CHF2, CH2F, CF3, carbocycle, heterocycle; Q1 = H, carbocycle, heterocycle; Z0 = heterocycle; R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = bond, (CRaRa1)m, C2-C3 alkylene, C2-C3 alkynylene; U = none, O, NRa1, CO, CO2, CONRa1, etc.; X = none, C1-C3 alkylene, C2-C3 alkenylene, C2-C3 alkynylene; Y = none, O, NRa1, S(O)p, CO; Z = C3-C13 carbocycle, heterocycle; Ua = none, O, NRa1, CO, S(O)pNRa1, etc.; Xa = none, C1-C10 alkylene, C2-C10 alkenylene, C2-C10 alkynylene; Ya = none, O, NRa1, S(O)p, CO; Za = C3-C13 carbocycle, heterocycle; Ra = H, C1-C6 alkyl, Ph, PhCH2; Ra1 = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, etc.; R4, R5 = H, C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl; m = 1-3; p = 0-2; r = 0-4; s = 0-4; t = 1-4] to be used as inhibitors of matrix metalloproteinases (MMP), TNF- $\alpha$  converting enzyme (TACE), and aggrecanase and for treating inflammatory disorders. For example, hydantoin derivative II was prepared starting from 4-HOC6H4CHO and 4-chloromethyl-2-methylquinoline which upon reaction gave aldehyde III. III was reacted with hydroxylamine to give the oxime which added to acrolein to give isoxazolecarbaldehyde IV. IV was then converted to the hydantoin II

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upon treatment with KCN/(NH<sub>4</sub>)<sub>2</sub>CO<sub>3</sub>/EtOH/H<sub>2</sub>O.

L13 ANSWER 2 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:181438 MARPAT

TITLE: Preparation of piperidinylmethyl  
(thiazolyl)phenylcarbamates as M3 muscarinic  
acetylcholine receptor antagonists

INVENTOR(S): Laine, Dramane I.; Bell, Ricahrd; Busch-Petersen,  
Jakob; Palovich, Michael

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

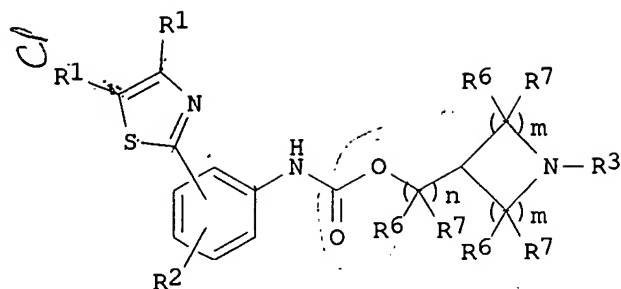
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

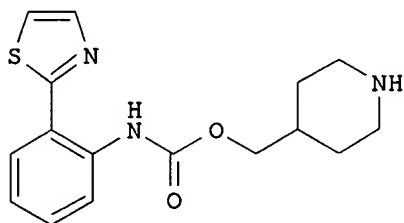
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004012684	A2	20040212	WO 2003-US24569	20030806
WO 2004012684	A3	20040624		
W:	AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003261392	A1	20040223	AU 2003-261392	20030806
EP 1549278	A2	20050706	EP 2003-767232	20030806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006505517	T2	20060216	JP 2004-526043	20030806
US 2005277676	A1	20051215	US 2005-523478	20050204
PRIORITY APPLN. INFO.:			US 2002-401756P	20020806
			WO 2003-US24569	20030806

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I



II

AB Title compds. I [wherein R1 = halogen, alkyl, CH<sub>2</sub>F, CHF<sub>2</sub>; R2 = H, OH, alkyl, aryl, halogen, alkoxy; R3 = H, (cyclo)alkyl, alkenyl, alkenylaryl, (un)substituted alkylaryl, cycloalkylalkyl; R6, R7 = independently H, alkyl; or R6 and R7 together form an (un)substituted (hetero)cyclic ring; n = 1-2; m = 1-2] were prepared For example, reaction of tert-Bu 4-[[[(2-bromophenyl)amino]carbonyloxy]methyl]piperidine-1-carboxylate with bis(pinacolato)diboron, followed by coupling reaction with 2-bromothiazole and deprotection with CF<sub>3</sub>CO<sub>2</sub>H, afford II•CF<sub>3</sub>CO<sub>2</sub>H. Thus, I and their pharmaceutical compns. are useful as M3 muscarinic acetylcholine receptor antagonists for the treatment of chronic obstructive lung disease, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, pulmonary emphysema, and allergic rhinitis, irritable bowel syndrome, spasmodic colitis, gastroduodenal ulcers, gastrointestinal convulsions or hyperanakisia, diverticulitis, pain accompanying spasms of gastrointestinal smooth musculature; urinary-tract disorders accompanying micturition disorders, neurogenic pollakiuria, neurogenic bladder, nocturnal enuresis, psychosomatic bladder, incontinence associated with bladder spasms or chronic cystitis, urinary urgency or pollakiuria, and motion sickness (no data).

L13 ANSWER 3 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

139:164789 MARPAT

TITLE:

Preparation of phenylpyrazoles as 5-HT<sub>2A</sub> serotonin receptor modulators

INVENTOR(S):

Teegarden, Bradley; Drouet, Keith; Jayakumar, Honnappa; Thomsen, William; Maffuid, Paul; Elwell, Katie; Foster, Richard; Lawless, Michael; Liu, Qian; Smith, Julian; Feichtinger, Konrad

PATENT ASSIGNEE(S):

Arena Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 266 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

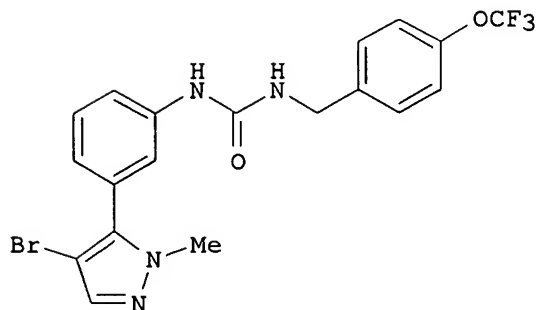
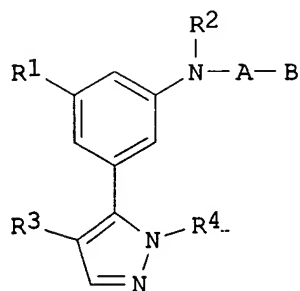
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062206	A2	20030731	WO 2003-US2059	20030123
WO 2003062206	A3	20040108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1509505	A2	20050302	EP 2003-705889	20030123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-386198P	20020123
			US 2002-386384P	20020605
			US 2002-401467P	20020805
			WO 2003-US2059	20030123

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AB Title compds. I [wherein R1 = H, halo, NR5R6, OH, or OR7; R2 = H, (cyclo)alkyl, or alkenyl; R3 = halo, carboxy, CN, or (un)substituted alkoxy carbonyl, (cyclo)alkyl, alkenyl, alkynyl, or (hetero)aryl; R4 = (cyclo)alkyl or alkenyl; R5 and R6 = independently H or (un)substituted (cyclo)alkyl, alkenyl, aryl(methyl); or NR5R6 =

(un)substituted heterocyclyl; R7 = H or alkyl; A = CO, CS, or SO<sub>2</sub>; B = (NR<sub>11</sub>)<sub>q</sub>(CHR<sub>12</sub>)<sub>m</sub>(1,2-cyclopropylidene)<sub>n</sub>Q<sub>1</sub> or Q<sub>2</sub>; m, n, and q = independently 0-1; R<sub>11</sub> and R<sub>12</sub> = independently H, (cyclo)alkyl, or alkenyl; Q = (un)substituted Ph; Q<sub>2</sub> = (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylaryl, or aryl(alkyl); and pharmaceutically acceptable salts thereof] were prepared as modulators of the 5-HT<sub>2A</sub> serotonin receptor. For example, reaction of triphosgene with 3-(3-aminophenyl)-4-bromo-2-methylpyrazole in the presence of TEA in CH<sub>2</sub>Cl<sub>2</sub>, followed by addition of 4-(trifluoromethoxy)benzylamine provided the N-(pyrazolylphenyl)urea II (68%). The latter exhibited IC<sub>50</sub> values of 1.2 μM, 0.45 μM, and 0.0171 μM for AP-1, WT 5-HT<sub>2A</sub>, and AP-3, resp., in a competitive binding assay. A number of the compds. of the invention evidenced inverse agonist activity against AP-1 (data given). Thus, I and pharmaceutical compns. thereof are directed to methods useful in the prophylaxis or treatment of reducing platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, reducing the risk of blood clot formation, asthma or symptoms thereof, agitation or a symptom, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia and NOS schizophrenia, and related disorders (no data). The present invention also relates to the method of prophylaxis or treatment of 5-HT<sub>2A</sub> serotonin receptor mediated disorders in combination with a dopamine D<sub>2</sub> receptor antagonist such as haloperidol, administered sep. or together.

L13 ANSWER 4 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

133:89437 MARPAT

TITLE:

Preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors

INVENTOR(S):

Beight, Douglas Wade; Craft, Trelia Joyce; Denny, Carl Penman; Franciskovich, Jeffery Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajjan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong

PATENT ASSIGNEE(S):

Eli Lilly and Co., USA; Kyle, Jeffrey, Alan; et al.

SOURCE:

PCT Int. Appl., 403 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

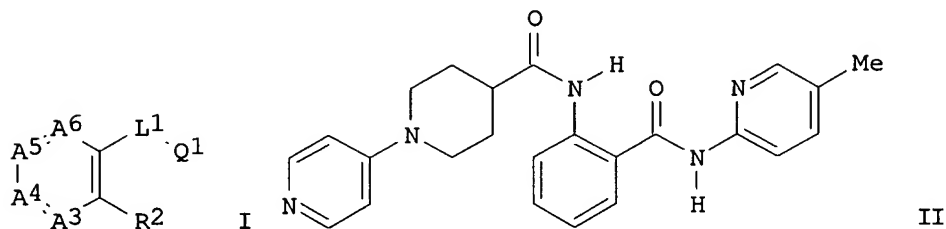
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039118	A1	20000706	WO 1999-US29946	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,				

VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2361149 AA 20000706 CA 1999-2361149 19991215  
 EP 1140903 A1 20011010 EP 1999-964279 19991215  
 EP 1140903 B1 20040804  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,  
 PT, IE, SI, LT, LV, FI, RO  
 JP 2002533454 T2 20021008 JP 2000-591029 19991215  
 AT 272633 E 20040815 AT 1999-964279 19991215  
 ES 2226485 T3 20050316 ES 1999-964279 19991215  
 US 6635657 B1 20031021 US 2001-857751 20010608  
 US 2004029874 A1 20040212 US 2003-629760 20030729  
 US 6759414 B2 20040706  
 US 2005282862 A1 20051222 US 2003-629817 20030729  
 US 1998-113556P 19981223  
 WO 1999-US29946 19991215  
 US 2001-857751 20010608  
 PRIORITY APPLN. INFO.:  
 GI



AB The title compds. [I; A3-A6, together with the two carbons to which they are attached, complete a substituted benzene in which A3 = CR3, A4 = CR4, A5 = CR5, and A6 = CR6 (wherein R3 = H, Me, MeO, etc.; one of R4 and R5 = H; alkyl, halo, etc.; the other of R4 and R5 = H; R6 = H, Me, F, etc.); L1 = CONH; Q1 = 2-pyridinyl (un)substituted at the 5-position, 3-pyridinyl (un)substituted at the 6-position, 2-pyrimidinyl (un)substituted at the 5-position, etc.; R2 = L2Q2 (L2 = NHCO, NHCH2, OCH2, etc.; Q2 = (un)substituted piperidinyl, piperazinyl, Ph, etc.)] and their pharmaceutically acceptable salts, useful as inhibitors of factor Xa (no data), were prepared and formulated. E.g., a multi-step synthesis of II.HCl was given. In general, compds. I are effective at 0.01-1000 mg/kg/day.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 130:81510 MARPAT

TITLE: Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors

INVENTOR(S): Galemno, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick; Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifan

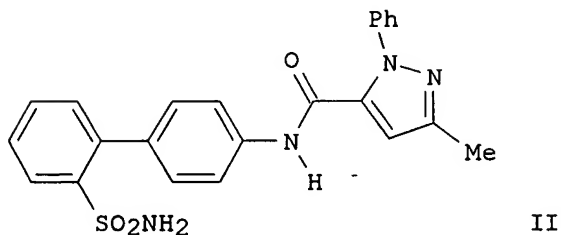


10/523478

PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA  
 SOURCE: PCT Int. Appl., 259 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857937	A2	19981223	WO 1998-US12681	19980618
WO 9857937	A3	19990318		
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9805251	A	19991217	ZA 1998-5251	19980617
CA 2290982	AA	19981223	CA 1998-2290982	19980618
AU 9881503	A1	19990104	AU 1998-81503	19980618
US 5998424	A	19991207	US 1998-99752	19980618
EP 991625	A2	20000412	EP 1998-931355	19980618
EP 991625	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9810151	A	20000808	BR 1998-10151	19980618
EE 9900584	A	20000815	EE 1999-584	19980618
SI 20208	C	20001031	SI 1998-20043	19980618
JP 2002507968	T2	20020312	JP 1999-504786	19980618
AT 296805	E	20050615	AT 1998-931355	19980618
ES 2239806	T3	20051001	ES 1998-931355	19980618
US 6403620	B1	20020611	US 1999-393782	19990910
LV 12516	B	20010320	LV 1999-177	19991216
NO 9906316	A	19991217	NO 1999-6316	19991217
LT 4702	B	20000925	LT 1999-146	19991217
US 2003092740	A1	20030515	US 2002-150698	20020516
US 6602895	B2	20030805		
PRIORITY APPLN. INFO.:			US 1997-50219P	19970619
			US 1997-878885	19970619
			US 1998-76691P	19980618
			US 1998-99752	19980618
			WO 1998-US12681	19980618
			US 1999-393782	19990910

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AB EZ1M [I; E = halo, OH, alkyl, alkoxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclylene, -heterocyclylene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl),

Searcher : Shears 571-272-2528

substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = N-containing heteroarylene, etc.] were prepared Thus, MeCOCH<sub>2</sub>C(:NOMe)CO<sub>2</sub>Et was cyclocondensed with PhNHNH<sub>2</sub> and the product amidated by 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>C<sub>6</sub>H<sub>4</sub>(SO<sub>2</sub>NHCOMe<sub>3</sub>)-2 to give, after deprotection, title compound II. Data for biol. activity of I were given.

L13 ANSWER 6 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 130:66494 MARPAT

TITLE: Preparation of novel guanidine mimics as factor Xa inhibitors

INVENTOR(S): Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell; Quan, Mimi Lifan

PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA

SOURCE: PCT Int. Appl., 268 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

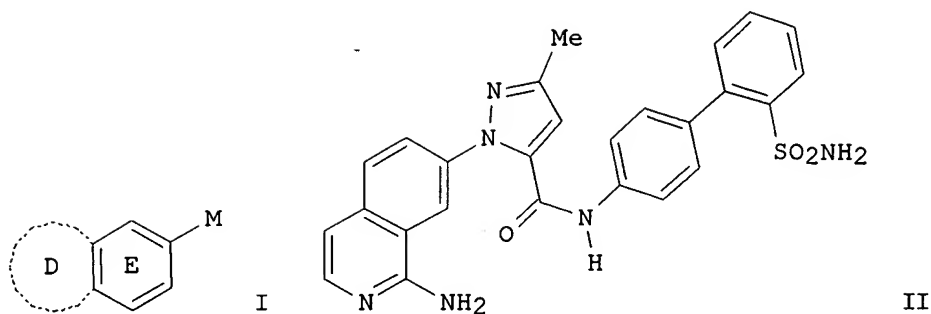
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857951	A1	19981223	WO 1998-US12680	19980618
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9805247	A	19991217	ZA 1998-5247	19980617
CA 2291442	AA	19981223	CA 1998-2291442	19980618
AU 9879768	A1	19990104	AU 1998-79768	19980618
AU 756755	B2	20030123		
EP 991638	A1	20000412	EP 1998-930361	19980618
EP 991638	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9810137	A	20000808	BR 1998-10137	19980618
EE 9900583	A	20000815	EE 1999-583	19980618
EE 4153	B1	20031015		
JP 2002505686	T2	20020219	JP 1999-504785	19980618
NZ 502370	A	20021025	NZ 1998-502370	19980618
AT 302198	E	20050915	AT 1998-930361	19980618
ES 2244064	T3	20051201	ES 1998-930361	19980618
TW 544453	B	20030801	TW 1998-87109910	19980819
NO 9905965	A	19991203	NO 1999-5965	19991203
NO 318359	B1	20050307		
MX 9911908	A	20000531	MX 1999-11908	19991216
LV 12496	B	20010120	LV 1999-178	19991216
LT 4705	B	20000925	LT 1999-147	19991217
PRIORITY APPLN. INFO.:			US 1997-878884	19970619
			WO 1998-US12680	19980618

GI



AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH<sub>2</sub>N:CH, CH<sub>2</sub>CH<sub>2</sub>N:CH, a 5-6 membered aromatic system containing 0-2 heteroatoms selected from the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, C1-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepared and formulated. Thus, a multi-step synthesis of the title compound II, starting with 7-aminoisoquinoline, was described. A number of compds. I were found to exhibit a K<sub>i</sub> of ≤ 15 μM against factor Xa.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 129:109090 MARPAT

TITLE: Preparation of nitrogen-containing heteroaromatics as factor Xa inhibitors

INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifan; Rossi, Karen Anita

PATENT ASSIGNEE(S): The Dupont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

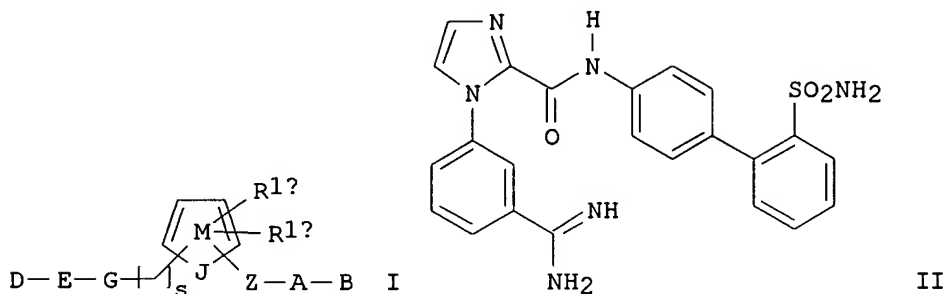
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828269	A1	19980702	WO 1997-US22895	19971215
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2275796	AA	19980702	CA 1997-2275796	19971215
AU 9856020	A1	19980717	AU 1998-56020	19971215
AU 730224	B2	20010301		
EP 946508	A1	19991006	EP 1997-952409	19971215

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT,  
IE, FI

EE 9900316	A	20000215	EE 1999-316	19971215
SI 20017	C	20000229	SI 1997-20082	19971215
CN 1246847	A	20000308	CN 1997-181852	19971215
BR 9714073	A	20000509	BR 1997-14073	19971215
JP 2001509145	T2	20010710	JP 1998-528845	19971215
ZA 9711586	A	19990701	ZA 1997-11586	19971223
TW 492971	B	20020701	TW 1997-86119637	19980203
NO 9902633	A	19990820	NO 1999-2633	19990601
NO 313190	B1	20020826		
MX 9905878	A	20000131	MX 1999-5878	19990622
LT 4673	B	20000725	LT 1999-76	19990622
LV 12430	B	20000720	LV 1999-99	19990730
PRIORITY APPLN. INFO.:			US 1996-769859	19961223
			US 1997-879944	19970620
			WO 1997-US22895	19971215

GI



AB The title compds. [I; ring M contains, in addition to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR<sub>8</sub>)NR<sub>7</sub>R<sub>9</sub>, C(O)NR<sub>7</sub>R<sub>8</sub>, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF<sub>3</sub>, etc.; G = absent, NHCH<sub>2</sub>, OCH<sub>2</sub>, etc.; Z = C1-4 alkylene, (CH<sub>2</sub>)<sub>r</sub>O(CH<sub>2</sub>)<sub>r</sub>, etc.; R<sub>1a</sub>, R<sub>1b</sub> = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S, etc.; R<sub>7</sub> = H, OH, C1-6 alkyl, etc.; R<sub>8</sub>, R<sub>9</sub> = H, C1-6 alkyl, (CH<sub>2</sub>)<sub>n</sub>Ph; n = 0-3; r = 0-3; s = 0-2], useful as inhibitors of factor Xa, were prepared and formulated. Thus, treatment of 4-[o-(tert-BuSO<sub>2</sub>)phenyl]aniline with Me<sub>3</sub>Al/hexane in CH<sub>2</sub>Cl<sub>2</sub> followed by the addition of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (preparation described), and the Pinner reaction of the resulting intermediate afforded the title compound II. A number of compds. I were found to exhibit a K<sub>i</sub> of ≤ 10 μM against factor Xa. Some compds. I were evaluated and found to exhibit K<sub>i</sub> of < 10 μM against thrombin.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

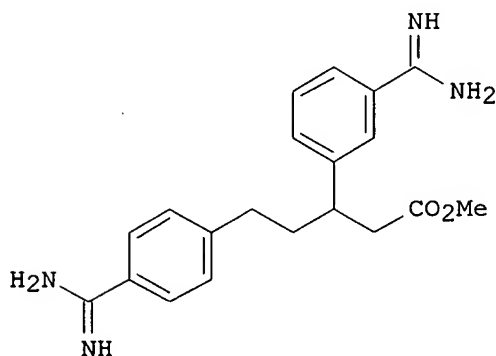
ACCESSION NUMBER: 127:247921 MARPAT

TITLE: Preparation of 3,5-bis(amidinophenyl)pentanoates and analogs as factor Xa inhibitors

INVENTOR(S): Maduskuie, Thomas Peter, Jr.; Cacciola, Joseph;  
 Fevig, John Matthew; Quan, Mimi Lifen; Stouten,  
 Petrus Fredericus Wilhelmus  
 PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA  
 SOURCE: PCT Int. Appl., 141 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730971	A1	19970828	WO 1997-US2919	19970218
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2244851	AA	19970828	CA 1997-2244851	19970218
AU 9720561	A1	19970910	AU 1997-20561	19970218
EP 892780	A1	19990127	EP 1997-908723	19970218
EP 892780	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 228111	E	20021215	AT 1997-908723	19970218
PT 892780	T	20030228	PT 1997-908723	19970218
ES 2186874	T3	20030516	ES 1997-908723	19970218
PRIORITY APPLN. INFO.:				
			US 1996-12104P	19960222
			US 1996-644085	19960509
			US 1997-36823P	19970203
			WO 1997-US2919	19970218

GI



I

AB RbRa(CH<sub>2</sub>)<sub>p</sub>X(ED)ZAB [A = (0-2 R<sub>4</sub>-substituted) CH<sub>2</sub>PH, carbocyclic residue, heterocyclic system; B = H, NR<sub>1</sub>R<sub>4</sub>, COR<sub>6</sub>, alkyl, etc.; D = cyano, C(:NR<sub>7</sub>)NR<sub>8</sub>R<sub>9</sub>, CONR<sub>8</sub>R<sub>9</sub>, etc.; E = phenylene, pyridinediyl, pyrimidinediyl, piperidinediyl; Ra = bond or CH:CH; Rb = H COR, OG<sub>1</sub>, NG<sub>1</sub>G<sub>2</sub>, etc.; G<sub>1</sub> = H, alkyl, heterocyclyl, etc.; G<sub>2</sub> = H or alkyl; R = H, OH, alkyl, alkoxy, etc.; R<sub>1</sub> = H, alkyl, alkoxy, etc.; R<sub>4</sub> = H, halo, OH, alkyl, etc.; R<sub>6</sub> = H, OH, alkyl, alkoxy, etc.; R<sub>8</sub>, R<sub>9</sub> = H or (phenyl)alkyl; X = CHCH(R<sub>1</sub>), CHN(R<sub>1</sub>), CH-O, CR<sub>1</sub>, N, and NCH(R<sub>1</sub>) (sic);

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Z = (CH<sub>2</sub>)<sub>n</sub>, CO, CO(CH<sub>2</sub>)<sub>n</sub>, CONR<sub>1</sub>; XZ = CR<sub>1</sub>(CH<sub>2</sub>)<sub>q</sub>SO<sub>m</sub>(CH<sub>2</sub>)<sub>q</sub>, N(CH<sub>2</sub>)<sub>q</sub>SO<sub>m</sub>NR<sub>6</sub>(CH<sub>2</sub>)<sub>q</sub>, etc.; m, q = 0-2; p, n = 1-4] were prepared as factor Xa inhibitors (no data). Thus, 4-(NC)C<sub>6</sub>H<sub>4</sub>CHO underwent aldol condensation with 3-(NC)C<sub>6</sub>H<sub>4</sub>COMe and the product condensed with MeO<sub>2</sub>CCH: P(Ph)<sub>3</sub> to give, in 2 addnl. steps, title compound I.

L13 ANSWER 9 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 127:51002 MARPAT  
 TITLE: Inhibitors of protein isoprenyl transferases  
 INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Rosenberg, Saul H.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Shen, Wang; Swenson, Rolf E.; Sorenson, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce; Tasker, Andrew S.; Wasicak, James T.; Winn, Martin  
 PATENT ASSIGNEE(S): University of Pittsburgh, USA  
 SOURCE: PCT Int. Appl., 260 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9717070	A1	19970515	WO 1996-US17092	19961105
W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2235986	AA	19970515	CA 1996-2235986	19961105
AU 9675975	A1	19970529	AU 1996-75975	19961105
ZA 9609273	A	19980505	ZA 1996-9273	19961105
EP 873123	A1	19981028	EP 1996-938647	19961105
EP 873123	B1	20030409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000500745	T2	20000125	JP 1997-518208	19961105
AT 236632	E	20030415	AT 1996-938647	19961105
PT 873123	T	20030829	PT 1996-938647	19961105
ES 2196186	T3	20031216	ES 1996-938647	19961105
PRIORITY APPLN. INFO.:			US 1995-7247P	19951106
			WO 1996-US17092	19961105
AB Protein isoprenyl transferase inhibitors R <sub>3</sub> XC <sub>6</sub> H <sub>2</sub> R <sub>1</sub> R <sub>2</sub> R <sub>4</sub> [R <sub>1</sub> = H, alkyl, halo, aryl, heterocyclyl, etc.; R <sub>2</sub> = (un)substituted Ph, CONHCHR <sub>5</sub> CO <sub>2</sub> R <sub>6</sub> (R <sub>5</sub> = alkyl, cycloalkyl, etc., R <sub>6</sub> = H or protecting group); CONH-heterocyclyl, etc.; R <sub>3</sub> = (un)substituted pyridyl or imidazolyl; R <sub>4</sub> = H, alkyl, halo, aryl, etc.; X is absent or X <sub>1</sub> NR <sub>4</sub> X <sub>2</sub> , X <sub>1</sub> OX <sub>2</sub> (X <sub>1</sub> = absent, alkylene, or alkenylene; X <sub>2</sub> = absent, CH <sub>2</sub> , CH <sub>2</sub> CH <sub>2</sub> , CHMe, etc.)] were prepared Thus, [4-(3-pyridyloxymethylene)-2-phenoxybenzoyl]methionine (I) was prepared by coupling of 4-(3-pyridyloxymethylene)-2-phenoxybenzoic acid (synthesis described) with methionine Me ester hydrochloride, followed by saponification				
Compound I				
showed 92% inhibition of protein farnesyl transferase at 1 μM.				

FILE 'REGISTRY' ENTERED AT 16:59:28 ON 24 APR 2006  
 L14 315199 S ?CARBAMIC ACID?/CNS

Searcher : Shears 571-272-2528

- key terms  
Compd.

L15 936652 S ?PIPERIDIN?/CNS  
 L16 17659 S L14(L)L15  
 L17 1147742 S ?THIAZOL?/CNS  
 L18 567 S L16(L)L17  
 L19 4274872 S ?CHLORO?/CNS  
 L20 61 S L18(L)L19

FILE 'CAPLUS' ENTERED AT 17:01:05 ON 24 APR 2006

L21 21 S L20  
 L22 199 S (4(W) (CL OR CHLORO?)) (S) CARBAMIC  
 L23 11 S L22(S) PIPERIDIN?  
 L24 32 S (L21 OR L23) NOT L4  
 L25 8 S L24 NOT (PY=>2002 OR PD=>20020806)

L25 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 18 Oct 2004

ACCESSION NUMBER: 2004:856523 CAPLUS

DOCUMENT NUMBER: 142:56179

TITLE: Process for preparation of intermediates of triscarbamic acid esters

INVENTOR(S): Kim, Gun Sik; Kim, Young Jung; Lee, Dong Il; Kim, Hyun Mo

PATENT ASSIGNEE(S): Korea Fine Chemical Co., Ltd, S. Korea

SOURCE: Repub. Korea, No pp. given

CODEN: KRXXFC

DOCUMENT TYPE: Patent

LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 235338	B1	19991215	KR 1997-57468	19971031
PRIORITY APPLN. INFO.:			KR 1997-57468	19971031

AB Provided is a method for preparing an intermediate of tris-carbamic acid ester, which is an inhibitor of cholesterol, by using environmentally friendly water solution and base. In a method for preparing an intermediate of tris-carbamic acid ester, 4-(**chlorocarbonyloxy**)-1-piperidinecarboxylic acid 4-phenoxyphenyl ester of the formula (I) reacts with aminohexanol to prepare high purity 4-(((6-hydroxyhexyl)amino)carbonyl)oxy)-piperidinecarboxylic acid 4-phenoxyphenyl ester of the formula (II) in high yield by using environmentally friendly water solution and bases instead of organic solvents and organic bases which cause environmental pollution. The water solution is preferably a cosolvent of water and an organic solvent, and selected from the group consisting of methylene chloride, ethylene chloride, chloroform, benzene, toluene, xylene, acetonitrile, THF and a mixture thereof. The base is selected from NaOH, KOH, NaHCO<sub>3</sub>, Na<sub>2</sub>CO<sub>3</sub>, KHCO<sub>3</sub>, Ca(OH)<sub>2</sub>, Mg(OH)<sub>2</sub> and a mixture thereof. The reaction is preferably carried out between 0° to 50°.

L25 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 24 May 2001

ACCESSION NUMBER: 2001:372159 CAPLUS

DOCUMENT NUMBER: 134:366868

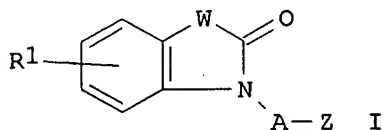
TITLE: Preparation of benzothiazolines as neuropeptide Y receptor antagonists

10/523478

INVENTOR(S): Sato, Yoshiya; Itani, Hiromichi; Tabuchi,  
Seiichiro; Sakata, Yoshihiko; Ohashi, Hiroko  
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 88 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139574	A2	20010522	JP 2000-296175	20000928
PRIORITY APPLN. INFO.:			AU 1999-3093	A 19990928

OTHER SOURCE(S): MARPAT 134:366868  
GI



AB The title compds. I [R1 = H, halo; W = S, O; A = (CH2)n, etc.; n = 1 - 6; Z = (un)substituted N-containing heterocyclic ring] are prepared 1-[(5-Chloro-2-oxobenzothiazolin-3-yl)acetyl]piperidine-4-carboxylic acid 4-benzoylanilide showed IC100 of 10-7 M in a neuropeptide Y5 receptor binding assay.

IT 340180-02-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzothiazolines as neuropeptide Y receptor antagonists)

IT 340179-82-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzothiazolines as neuropeptide Y receptor antagonists)

L25 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 01-Jun 1998

ACCESSION NUMBER: 1998:324806 CAPLUS

DOCUMENT NUMBER: 129:24496

TITLE: Herbicidal 3-(substituted benzoxazol-7-yl) and 3-(substituted benzothiazol-7-yl)-1-substituted-6-trifluoromethyl-2 4-(1H,3H)pyrimidinediones

INVENTOR(S): Crawford, Scott D.; Maravetz, Lester L.; Theodoridis, George

PATENT ASSIGNEE(S): FMC Corp., USA

SOURCE: U.S., 38 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

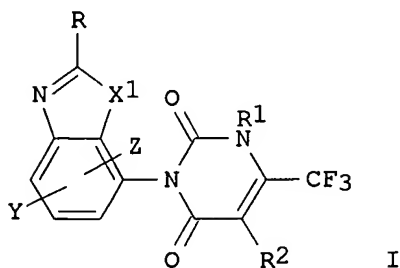
PATENT INFORMATION:

Searcher : Shears 571-272-2528



PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753595	A	19980519	US 1996-743973	19960731
PRIORITY APPLN. INFO.:			US 1996-743973	19960731

OTHER SOURCE(S): MARPAT 129:24496  
GI



AB Herbicidal 3-(substituted benzoxazol-7-yl) and 3-(substituted benzothiazol-7-yl)-1-substituted-6-trifluoromethyl-2,4-(1H,3H)pyrimidinediones I (R = a variety of substituents, including halo, alkyl, alkenyl, alkynyl, Ph, phenylalkyl, alkylphenylalkyl, haloalkyl, hydroxy, alkoxy, hydroxyalkyl, halophenyl, halophenylalkyl, alkoxyphenyl, sulfhydryl, alkylthio, piperidinyl, alkylamino, alkoxyalkyl, phenoxy, amino, alkylsulfonfylamino, phenylsulfonfylamino, and carboxy; R1 = alkyl or amino; R2 = H or halo; X = O or S; Y = H, halo, alkoxy, cyano, or nitro; Z = halo; where halo is bromine, chlorine, fluorine, or iodine, and each alkyl, alkoxy, alkenyl, or alkynyl moiety has one to six carbon atoms), compns. containing them, and methods of using them to control undesired plant growth are disclosed, as are novel intermediates used in the preparation. Thus, Et N-(2-tert-butyl-4-chlorobenzoxazol-7-yl)carbamate, prepared in 3 steps from 2,5-dichloroaniline was cyclized with 3-amino-4,4,4-trifluorocrotonate followed by methylation to give N-(2-tert-butyl-4-chlorobenzoxazol-7-yl)-1-methyl-6-(trifluoromethyl)-2,4-(1H,3H)pyrimidinedione (II). In preemergence and postemergence application II completely controlled Johnson grass at 0.3 kg/ha.

IT **188787-80-6P 188787-88-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of herbicidal 3-(substituted benzoxazol-7-yl)- and 3-(substituted benzothiazol-7-yl)-1-substituted-6-trifluoromethyl-2,4-(1H,3H)pyrimidinediones)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 19 Jan 1998

ACCESSION NUMBER: 1998:31312 CAPLUS

DOCUMENT NUMBER: 128:102394

TITLE: Preparation of pyrrolo[1,2-a]pyrazine-1,4-dione serine protease inhibitors

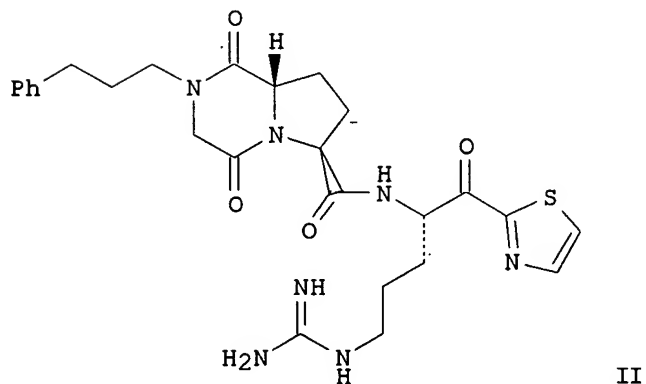
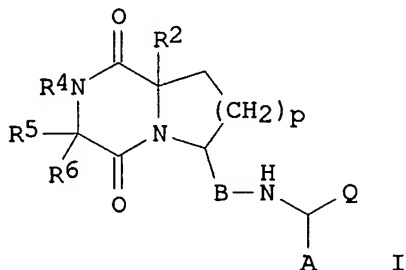
INVENTOR(S): Berryman, Kent Alan; Doherty, Annette Marian; Edmunds, Jeremy John; Siddiqui, M. Arshad

10/523478

PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748706	A1	19971224	WO 1997-US9832	19970610
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9732325	A1	19980107	AU 1997-32325	19970610
US 6124291	A	20000926	US 1998-171863	19981027
PRIORITY APPLN. INFO.:			US 1996-19989P	P 19960618
			WO 1997-US9832	W 19970610

OTHER SOURCE(S): MARPAT 128:102394  
 GI



AB This invention relates to pyrrolo[1,2-a]pyrazine-1,4-diones I (B = CO,

Searcher : Shears 571-272-2528

CH<sub>2</sub>; R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = independently H, alkyl, substituted alkyl; A = basic group; Q = H, keto heterocycle group; p = 0-2). The compds. are inhibitors of serine proteases, typically thrombin, Factor Xa, and Factor VIIa, and are useful for treating and preventing thrombotic disorders. Thus, title derivative II was prepared in 14 steps from Z-Asp-OCMe<sub>3</sub> (Z = PhCH<sub>2</sub>O<sub>2</sub>C), Ph(CH<sub>2</sub>)<sub>3</sub>-Gly-OCH<sub>2</sub>Ph, Boc-Arg(Mtr)-OH (Boc = Me<sub>3</sub>CO<sub>2</sub>C; Mtr = 4-methoxy-2,3,6-trimethylphenylsulfonyl), and thiazole.II inhibited thrombin with K<sub>i</sub> = 3 nM, factor Xa at 30 nM, and trypsin <1 nM.

## IT 201165-65-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyrazinedione derivs. as antithrombotics and serine protease inhibitors)

L25 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 May 1997

ACCESSION NUMBER: 1997:286377 CAPLUS

DOCUMENT NUMBER: 126:264105

TITLE: Herbicidal 3-(substituted benzoxazol-7-yl) and 3-(substituted benzothiazol-7-yl)-1-substituted-6-trifluoromethyl-2,4-(1H,3H)pyrimidinediones

INVENTOR(S): Crawford, Scott D.; Maravetz, Lester L.; Theodoridis, George

PATENT ASSIGNEE(S): FMC Corp., USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

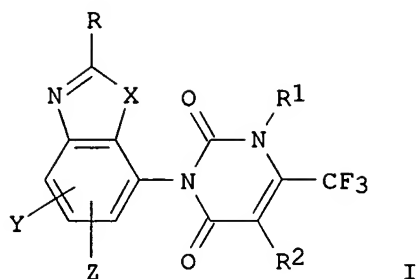
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

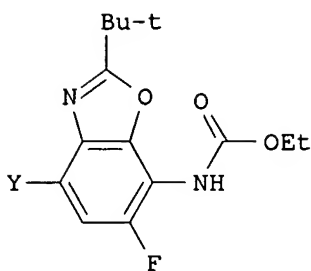
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9708170	A1	19970306	WO 1996-US13995	19960830
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9669618	A1	19970319	AU 1996-69618	19960830
ZA 9610644	A	19970624	ZA 1996-10644	19961218
PRIORITY APPLN. INFO.:			US 1995-3080P	P 19950831
			WO 1996-US13995	W 19960830

OTHER SOURCE(S): MARPAT 126:264105

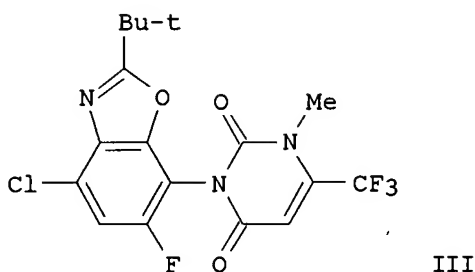
GI



I



II



III

AB Herbicidal title compds., compns. containing them, and methods of using them to control undesired plant growth are disclosed, as are novel intermediates used in their preparation. The herbicidal compds. are defined as I [R = halo, alk(en/yn)yl, aryl, arylalkyl, alkylarylalkyl, haloalkyl, OH, alkoxy, hydroxyalkyl, haloaryl, haloarylalkyl, alkoxyaryl, SH, alkylthio, piperidinyl, alkylamino, alkoxyalkyl, PhO, amino, alkylsulfonylamino, arylsulfonylamino, CO<sub>2</sub>H, etc.; R<sub>1</sub> = alkyl or amino; R<sub>2</sub> = H or halo; X = O or S; Y = H, halo, alkoxy, cyano, or NO<sub>2</sub>; and Z = halo; where halo = Br, Cl, F, or iodine, and each alkyl moiety has 1-6 C atoms]. A list of 124 possible specific compds. is given, with phys. and biol. data for over 60 compds. For instance, 3-chloro-4-fluoroaniline reacted with trimethylacetic anhydride to give the corresponding amide, which was lithiated with BuLi and treated with CO<sub>2</sub> to give 2-(tert-butyl)-6-fluorobenzoxazole-7-carboxylic acid. This acid was treated with ClCO<sub>2</sub>Et and then NaN<sub>3</sub> to give the acyl azide, which was thermolyzed in refluxing EtOH to give the benzoxazole carbamate derivative II [Y = H]. This was chlorinated by N,N-dichlorourethane in concentrated HCl-AcOH to give II [Y = Cl], which underwent cyclocondensation with CF<sub>3</sub>(H<sub>2</sub>N)C:CHCO<sub>2</sub>Et and then N-methylation with MeI and K<sub>2</sub>CO<sub>3</sub> to give title compound III. At 0.3 kg/ha post- or preemergence, III gave nonselective 100% control of all 10 test species, including 3 crops.

IT **188787-80-6P 188787-88-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of herbicidal benzoxazolyl- and benzothiazolyl-substituted (trifluoromethyl)pyrimidinediones)

L25 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 20 Dec 1996

ACCESSION NUMBER: 1996:746209 CAPLUS

DOCUMENT NUMBER: 126:19324

TITLE: Preparation of arylsulfonylamino acid amide trypsin and thrombin inhibitors.

INVENTOR(S): Hoyle, William; Howarth, Graham Arton; Brundish, Derek Edward; Kane, Peter Daniel; Walker, Clive Victor; Hayler, Judy; Fullerton, Joseph David; Smith, Garric Paul; Wathey, William Bernard; et al.

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: PCT Int. Appl., 202 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

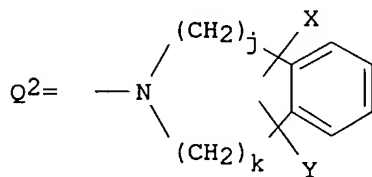
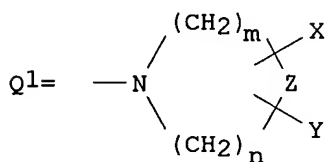
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629327	A1	19960926	WO 1996-GB520	19960308
W:	AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9648872	A1	19961008	AU 1996-48872	19960308
EP 815103	A1	19980107	EP 1996-904963	19960308
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE			
JP 11502219	T2	19990223	JP 1996-528155	19960308
ZA 9602112	A	19960918	ZA 1996-2112	19960315
PRIORITY APPLN. INFO.:			GB 1995-5538	A 19950318
			WO 1996-GB520	W 19960308

OTHER SOURCE(S): MARPAT 126:19324

GI



AB ArSO<sub>2</sub>AQ [Ar = (substituted) aryl, heterocyclyl; A = amino acid residue; Q = Q<sub>1</sub>, Q<sub>2</sub>; X = H, alkyl; Y = SO<sub>3</sub>H, PO(OR<sub>14</sub>)<sub>2</sub>, OH, SH, NR<sub>15</sub>R<sub>16</sub>, halo, (substituted) (CqH<sub>2</sub>q)Q<sub>3</sub>, etc.; Q<sub>3</sub> = H, COR<sub>14</sub>, CO<sub>2</sub>R<sub>14</sub>, CONR<sub>15</sub>R<sub>16</sub>, SO<sub>3</sub>H, OR<sub>14</sub>, OCOR<sub>14</sub>, PO(OR<sub>14</sub>)<sub>2</sub>, NR<sub>15</sub>R<sub>16</sub>, SR<sub>14</sub>, halo; R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub> = H, alkyl, cycloalkyl, aralkyl; R<sub>15</sub>R<sub>16</sub>N = 5-6 membered azacycloalkyl, oxazacycloalkyl; XY = O; Z = bond, O, N optionally substituted by X or Y; m, n = 2-4; m + n = 4-6, j, k = 0-2; j + k = 2-3; when A = Arg, then X, Y ≠ alkyl; when Q = COR<sub>14</sub>, then q = 1-8], were prepared Thus, (S)-arginine and 3-(1-methyl-1-phenylethyl)benzenesulfonyl chloride were stirred with Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O/dioxane to give 5-guanidino-2(S)-[3-(1-methyl-1-phenylethyl)benzenesulfonylamino]pentanoic acid. The latter was converted to the acid chloride hydrochloride, which was condensed with pyrrolidin-2(R)-ylmethanol in DMF containing Et<sub>3</sub>N to give

10/523478

N-[4-guanidino-1(S)-2(R)-hydroxymethylpyrrolidine-1-carbonylbutyl]-3-(1-methyl-1-phenylethyl)benzenesulfonamide. Tested title compds. inhibited human  $\alpha$ -thrombin with  $K_i = 0.007-0.094 \mu\text{M}$ .

IT 184043-61-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonamino acid amide trypsin and thrombin inhibitors)

L25 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 03 Apr 1989

ACCESSION NUMBER: 1989:114828 CAPLUS

DOCUMENT NUMBER: 110:114828

TITLE: Benzothiazolinone derivatives, their production and antiallergic and antiinflammatory compositions

INVENTOR(S): Umio, Suminori; Kozasa, Shizuo; Yabuuchi, Takahiro

PATENT ASSIGNEE(S): Hoei Pharmaceutical Co., Ltd., Japan; Research Institute for Production Development

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

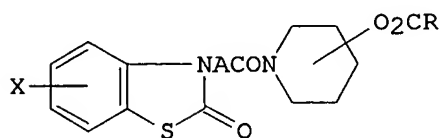
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

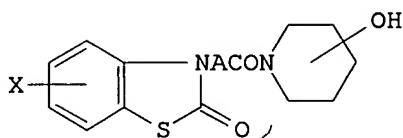
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 288973	A2	19881102	EP 1988-106665	19880426
EP 288973	A3	19890816		
EP 288973	B1	19830113		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 01301680	A2	19891205	JP 1988-96641	19880419
US 4879301	A	19891107	US 1988-186439	19880426
PRIORITY APPLN. INFO.:			JP 1987-106961	A 19870428
			JP 1988-21754	A 19880201

OTHER SOURCE(S): CASREACT 110:114828; MARPAT 110:114828

GI



I



II

AB Title compds. I (A = alkylene; X = halo; R = R1O, R2R3N, YCO2H; R1 = alkyl; R2, R3 = H, alkyl; Y = alkylene, alkenylene) are prepared by reaction of the corresponding alcs. (II) and ZCO2R1 (Z = halo), R4NCO (R4 = alkyl), or a reactive derivative of (HO2C)2Y and reaction of II with Cl2CO or ClCO2CCl3, followed by condensation with R2R3NH. Treatment of II (X = 5-Cl; A = CH2; OH at the 4 position) with ClCO2Et at 80° for 8 h gave I (X = 5-Cl; A = CH2; EtOCO2 at the 4 position) (III), which, at 16 mg/kg orally, showed 50.7% antagonism of passive cutaneous anaphylaxis of rats. Tablets were prepared containing III 5000, lactose 4200, hydroxypropyl cellulose 1700, and Mg stearate 100

Searcher : Shears 571-272-2528

parts by weight  
 IT **119400-41-8P 119400-42-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as allergy and inflammation inhibitor)

L25 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Dec 1985

ACCESSION NUMBER: 1985:615287 CAPLUS

DOCUMENT NUMBER: 103:215287

TITLE: Five membered heterocyclic ring containing  
 N-(bicyclic heterocyclyl)-4-piperidinamines  
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo  
 Ghislanus; Hens, Jozef Francis; Van Offenwert,  
 Theophilus Theresia

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 76 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 145037	A2	19850619	EP 1984-201326	19840914
EP 145037	A3	19850710		
EP 145037	B1	19890118		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4634704	A	19870106	US 1984-625343	19840627
CA 1247614	A1	19881227	CA 1984-462540	19840906
AT 40130	E	19890215	AT 1984-201326	19840914
IL 73118	A1	19880331	IL 1984-73118	19840930
RO 90457	B3	19861210	RO 1984-115894	19841004
DK 8404784	A	19850407	DK 1984-4784	19841005
DK 163239	B	19920210		
DK 163239	C	19920629		
FI 8403934	A	19850407	FI 1984-3934	19841005
FI 81797	B	19900831		
FI 81797	C	19901210		
NO 8404009	A	19850409	NO 1984-4009	19841005
NO 160441	B	19890109		
NO 160441	C	19890419		
AU 8433872	A1	19850418	AU 1984-33872	19841005
AU 565884	B2	19871001		
ES 536590	A1	19851116	ES 1984-536590	19841005
JP 61010577	A2	19860118	JP 1984-208394	19841005
JP 07098818	B4	19951025		
ZA 8407847	A	19860528	ZA 1984-7847	19841005
HU 38629	A2	19860630	HU 1984-3771	19841005
HU 207514	B	19930428		
SU 1440346	A3	19881123	SU 1984-3796140	19841005
PL 146228	B1	19890131	PL 1984-249916	19841005
PRIORITY APPLN. INFO.:			US 1983-539597	A 19831006
			US 1984-625343	A 19840627
			EP 1984-201326	A 19840914

OTHER SOURCE(S): CASREACT 103:215287

Searcher : Shears 571-272-2528

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, alkyl; R1 = H, alkyl, thienyl, halothienyl, pyrazinyl, thiazolyl, alkylthiazolyl, imidazolyl, alkylimidazolyl, (un)substituted Ph, alkyl substituted by 1 or 2 of these aromatic groups; R2 = H, alkyl, cycloalkyl, alkanoyl, alkoxy carbonyl, (un)substituted Ph; R3 = R4(CH2)nZZ1, R4(CH2)nZ2C(X1)ZZ1, Q; R4 = 5-membered heterocyclyl containing  $\geq 1$  N atoms, optionally fused to a C6H6 ring; X = (un)substituted CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; X1 = O, S, O2NCH, R5N; R5 = H, alkyl, cyano, NO2, acyl; Z = O, S, R6 N, bond; R6 = H, alkyl, amino, acyl; Z1 = alkylene; Z2 = O, S, R7N, bond; R7 = H, alkyl; n = 0-6; m = 0-2] were prepared Thus, N-(2-nitrophenyl)-2-furanmethanamine was hydrogenated and the diamine condensed with Et 4-isothiocyanato-1-piperidinecarboxylate to give thiourea derivative II. This was cyclized to a benzimidazole derivative by heating with HgO and S in EtOH, decarboxylated by heating in aqueous HBr, and N-alkylated with 4-(chloromethyl)-5-methyl-1H-imidazole-HCl to give benzimidazolamine III. The antihistaminic properties of I were demonstrated in rats, where I inhibited the lethality of compound 48/80 with ED50 0.005-1.25 mg/kg s.c. or orally, and inhibit gastric lesions in rats caused by the same agent with ED50 0.04-1.25 mg/kg s.c.

IT **99138-72-4P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antihistaminic activity of)

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FILE 'CONFSCI' ENTERED AT 17:03:31 ON 24 APR 2006  
 COPYRIGHT (C) 2006 Cambridge Scientific Abstracts (CSA)

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FILE 'JICST-EPLUS' ENTERED AT 17:03:31 ON 24 APR 2006  
 COPYRIGHT (C) 2006 Japan Science and Technology Agency (JST)

FILE 'JAPIO' ENTERED AT 17:03:31 ON 24 APR 2006  
 COPYRIGHT (C) 2006 Japanese Patent Office (JPO)- JAPIO

L26 5 S L20  
 L27 6 S L23  
 L28 11 S L26 OR L27  
 L29 11 DUP REM L28 (0 DUPLICATES REMOVED)

L29 ANSWER 1 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2005-591611 [60] WPIDS  
 CROSS REFERENCE: 2004-805062 [79]; 2004-813067 [80]; 2004-832550 [82];  
 2004-833676 [82]; 2005-346574 [35]



DOC. NO. CPI: C2005-178281  
 TITLE: New imidazole derivatives are glutaminy cyclase inhibitors useful to treat neuronal disorders e.g. Alzheimer's disease, Down syndrome, Parkinson disease, Chorea Huntington, pathogenic psychotic conditions and schizophrenia.  
 DERWENT CLASS: B02 B03  
 INVENTOR(S): BUCHHOLZ, M; DEMUTH, H; HEISER, U; NIESTROJ, A J; SCHILLING, S  
 PATENT ASSIGNEE(S): (PROB-N) PROBIODRUG AG  
 COUNTRY COUNT: 108  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2005075436	A2	20050818	(200560)*	EN	122
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IS IT KE LS LT LU MC MW MZ NA NL OA PL PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW					
US 2005215573	A1	20050929	(200564)		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005075436	A2	WO 2005-EP1153	20050204
US 2005215573	A1 Provisional	US 2004-542133P	20040205
	CIP of	US 2004-838993	20040505
	Provisional	US 2004-634364P	20041208
		US 2005-51760	20050204

PRIORITY APPLN. INFO: US 2004-634364P 20041208; US  
 2004-542133P 20040205; US  
 2004-838993 20040505; US  
 2005-51760 20050204

AN 2005-591611 [60] WPIDS  
 CR 2004-805062 [79]; 2004-813067 [80]; 2004-832550 [82]; 2004-833676 [82]; 2005-346574 [35]  
 AB WO2005075436 A UPAB: 20051006  
 NOVELTY - Imidazole derivatives (I) and their salts, stereoisomers and polymorphs are new.

DETAILED DESCRIPTION - Imidazole derivatives of formula (I) and their salts, stereoisomers and polymorphs are new.

A = alkyl, alkenyl, alkynyl, substituted phenyl of formulae (a)-(c) or cycloalkyl derivative of formulae (d) or (e);

R6-R10 = H, alkyl, alkenyl, alkynyl, cycloalkyl, carbocycle, (hetero)aryl or heterocycle;

n, n1, m = 1-5;

o = 0-4;

B1 = -NH-C(=X)-NH-D, -C(=X)-NH-D, -C(=X)-S-D, -NH-C(=Y)-C(R17)(R18)-D or heterocyclic derivative of formulae (f)-(g);

D, E = alkyl, alkenyl, alkynyl, cycloalkyl, carbocycle,

alkylaryl, (hetero)aryl, alkylheteroaryl, acyl or heterocycle;

Z = CH or N;

X = CR2OR21, O, S or NR19, provided that for formula (f) and (g), Z is CH, X is O or S;

R19 = H, alkyl, cycloalkyl, (hetero)aryl, -oxyalkyl, -oxyaryl, carbonyl, amido, OH, NO2, NH2 or CN;

R20, R21 = H, alkyl, cycloalkyl, heterocycle, (hetero)aryl, oxyalkyl, oxyaryl, carbonyl, amido, NO2, NH2, CN or CF3;

X1-X3 = O or S, provided that X2 and X3 are not both O;

Y = O or S, provided that Y may not be O, when the carbocycle formed by R17 and R18 has 3 members in the ring;

R11-R14 = H, alkyl, alkenyl, alkynyl, cycloalkyl, carbocycle, (hetero)aryl, heterocycle, halo, alkoxy, thioalkyl, carboxyl, carboxylic acid ester, carbonyl, carbamide, (thio)carbamide or thiocarbonyl, NH2 or NO2;

R15, R16 = H, alkyl or alkenyl;

R17, R18 = H, alkyl, alkenyl, alkynyl, carbocycle, (hetero)aryl, heteroalkyl or carbocycle with 0-6 ring atoms; and

n = 0-1.

Provided that the compounds (1)-(4) are excluded, where in (4), X, R is (CH2, 4-F), (CH2, 3-Cl), (CH2, 4-CH3) or (C2H4, H). An INDEPENDENT CLAIM is also included for a composition (A) comprising (I) optionally in combination with a carrier and/or excipient.

ACTIVITY - Neuroprotective; Nootropic; Antiparkinsonian; Anticonvulsant; Neuroleptic; Hypnotic; Endocrine-Gen.; Hypotensive; Antipyretic; Anabolic; Eating-Disorders-Gen.; Tranquilizer; Antidepressant; Antiaddictive; Antialcoholic; Antiinfertility.

MECHANISM OF ACTION - Glutaminy cyclase inhibitor. The ability of (I) to inhibit glutaminy cyclase was assessed using biological assay. The results showed that the inhibition constant value of N-(3-(1H-imidazol-1-yl)propyl)-1-(3,4-dimethoxyphenyl)cyclopropanecarbothioamide was 0.09 microM.

USE - (I) are useful in the manufacture of a medicament for the treatment of neuronal disorders, especially Alzheimer's disease, Down syndrome, Parkinson disease, Chorea Huntington, pathogenic psychotic conditions, schizophrenia, impaired food intake, sleep-wakefulness, impaired homeostatic regulation of energy metabolism, impaired autonomic function, impaired hormonal balance, impaired regulation, body fluids, hypertension, fever, sleep dysregulation, anorexia, anxiety related disorders including depression, seizures including epilepsy, drug withdrawal and alcoholism, neurodegenerative disorders including cognitive dysfunction and dementia (claimed). (I) are also useful to stimulate the proliferation of myeloid progenitor cells; or to suppress male fertility.

ADVANTAGE - (I) are more potent, selective and are more compatible or effective in combination with other drugs. (I) has fewer side effects, better formulation and stability properties, better pharmacokinetic properties, and can be more readily synthesized than other known compounds. (I) are more bioavailable and are able to cross blood brain barrier and are more effective in the brain of mammals.

Dwg.0/0

L29 ANSWER 2 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2003-607827 [57] WPIDS  
 DOC. NO. CPI: C2003-165555  
 TITLE: New trisubstituted triazine library, useful as  
 universal small molecule chips for functional  
 proteomics and sensors.  
 DERWENT CLASS: B03 B04 D16

10/523478

INVENTOR(S): CHANG, Y; KHERSONSKY, S M; MOON, H  
PATENT ASSIGNEE(S): (CHAN-I) CHANG Y; (KHER-I) KHERSONSKY S M; (MOON-I)  
MOON H; (UYNY) UNIV NEW YORK STATE  
COUNTRY COUNT: 101  
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2003050237	A2	20030619	(200357)*	EN	17
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW					
US 2003166002	A1	20030904	(200359)		
AU 2002340125	A1	20030623	(200420)		
AU 2002340125	A8	20051020	(200615)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003050237	A2	WO 2002-US32096	20021009
US 2003166002	A1 Provisional	US 2001-339294P	20011212
		US 2002-267044	20021009
AU 2002340125	A1	AU 2002-340125	20021009
AU 2002340125	A8	AU 2002-340125	20021009

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002340125	A1 Based on	WO 2003050237
AU 2002340125	A8 Based on	WO 2003050237

PRIORITY APPLN. INFO: US 2001-339294P 20011212; US  
2002-267044 20021009

AN 2003-607827 [57] WPIDS  
AB WO2003050237 A UPAB: 20030906

NOVELTY - Trisubstituted triazine library (A) is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) preparation of (A);  
(2) synthesis of (A) with linker involving reacting (A) with a linker;  
(3) triazine-linker compounds (B) comprising (A) bonded to the linker;

(4) affinity matrix beads (preferably agarose) comprising (B) loaded onto activated beads;

(5) a high density small molecule chip comprising a surface (preferably glass slide) onto which (B) are linked; and

(6) determination of binding affinity of proteins to several molecules involving incubating the high density small molecule chip with several labeled proteins and analyzing the labels to determine affinity of molecule for proteins.

USE - For preparing affinity matrix beads and for preparing high-density small molecule chips (claimed), which are useful in functional proteomics, sensors and study of genomes.

Searcher : Shears 571-272-2528

ADVANTAGE - The modification of (A) is highly flexible and hence generates diversity. The starting material and all of the required building blocks are relatively inexpensive, hence the preparation of (A) is simple. Byproducts are not generated during preparation, hence further purification is not required after cleavage of (A). The triazine library reduces the assay time from months to days and makes the chemical genetic approach more practical and efficient than the prior art.  
Dwg.0/6

L29 ANSWER 3 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2003-363111 [34] WPIDS  
 CROSS REFERENCE: 2003-354573 [33]  
 DOC. NO. CPI: C2003-201761  
 TITLE: Use of new and known aminoazetidines, pyrrolidine and piperidine derivatives for treating diseases related to histamine H3 receptor e.g. obesity, Alzheimer's disease and type 2 diabetes.  
 DERWENT CLASS: B02 B03  
 INVENTOR(S): DORWALD, F Z; HOHLWEG, R; DOERWALD, F Z  
 PATENT ASSIGNEE(S): (DORW-I) DORWALD F Z; (HOHL-I) HOHLWEG R; (BOEH) BOEHRINGER INGELHEIM INT GMBH; (NOVO) NOVO NORDISK AS  
 COUNTRY COUNT: 102  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2003024928	A2	20030327	(200334)*	EN	27
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW					
US 2003130253	A1	20030710	(200347)		
US 6673829	B2	20040106	(200411)		
EP 1430027	A2	20040623	(200441)	EN	
R: AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI SK TR					
AU 2002328796	A1	20030401	(200452)		
JP 2005510465	W	20050421	(200528)		53

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003024928	A2	WO 2002-DK593	20020911
US 2003130253	A1 Provisional	US 2002-383418P	20020521
		US 2002-242968	20020912
US 6673829	B2 Provisional	US 2002-383418P	20020521
		US 2002-242968	20020912
EP 1430027	A2	EP 2002-764566	20020911
		WO 2002-DK593	20020911
AU 2002328796	A1	AU 2002-328796	20020911
JP 2005510465	W	WO 2002-DK593	20020911
		JP 2003-528776	20020911

## FILING DETAILS:

Searcher : Shears 571-272-2528

PATENT NO	KIND	PATENT NO
EP 1430027	A2 Based on	WO 2003024928
AU 2002328796	A1 Based on	WO 2003024928
JP 2005510465	W Based on	WO 2003024928

PRIORITY APPLN. INFO: DK 2002-750 20020516; DK  
2001-1344 20010914

AN 2003-363111 [34] WPIDS  
CR 2003-354573 [33]  
AB WO2003024928 A UPAB: 20050504

NOVELTY - Aminoazetidine, pyrrolidine and piperidine derivatives (I) are used for the treatment of disorders and diseases related to the histamine H3 receptor.

DETAILED DESCRIPTION - Aminoazetidine, pyrrolidine and piperidine derivatives of formula (I), their diastereomers, enantiomers and/or tautomers or their salts are used for the treatment of disorders and diseases related to the histamine H3 receptor.

R1 = H, 1-8C alkyl, 3-8C alkenyl, 3-8C alkynyl, 3-7C cycloalkyl, 3-7C cycloalkenyl, 4-8C bicycloalkyl, 3-7C cycloalkyl-(1-3C) alkyl or (3-7C) cycloalkenyl-(1-3C) alkyl (all optionally substituted by at least one halo);

R2 = 1-6C alkyl;

X = (CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>n</sub>-(CH<sub>2</sub>)<sub>o</sub>;

m, o = 0-4;

n = 0 or 1;

f = 0-2;

Z = O, NH, N(CH<sub>3</sub>), C(=O), CH(OH), C(=N-OH), S, S(=O), S(=O)<sub>2</sub>, CH=CH or C equivalent to C;

Y = 3-8C cycloalkyl or 5-8C cycloalkenyl (both optionally substituted by aryl or aryloxy (both optionally substituted by at least one halo, NO<sub>2</sub>, CN, OH, 1-6C alkanoyl, 1-6C alkylthio, 1-6C alkylsulfonyl, 1-6C alkyl, 1-6C alkoxy, 3-8C cycloalkyl, CF<sub>3</sub>, OCF<sub>3</sub>, NR<sub>7</sub>R<sub>8</sub> or OCONR<sub>7</sub>R<sub>8</sub> or 2 adjacent substituents form O-(CH<sub>2</sub>)<sub>1-30</sub>), 1-6C alkyl, 1-6C alkoxy, 1-6C alkylthio, CN, CF<sub>3</sub>, OCF<sub>3</sub> or halo), or aryl or heteroaryl (both optionally substituted by at least one halo, NO<sub>2</sub>, CN, OH, 1-6C alkanoyl, 1-6C alkylthio, 1-6C alkylsulfonyl, 1-6C alkyl, 1-6C alkoxy, 3-8C cycloalkyl, CF<sub>3</sub>, OCF<sub>3</sub>, NR<sub>3</sub>R<sub>4</sub> or OCONR<sub>3</sub>R<sub>4</sub> or 2 adjacent substituents form O-(CH<sub>2</sub>)<sub>1-30</sub>, or aryl, aryloxy, aryl-1-6C alkyl or aryl-(1-6C) alkoxy (all optionally ring substituted by at least one halo, NO<sub>2</sub>, CN, OH, 1-6C alkanoyl, 1-6C alkylthio, 1-6C alkylsulfonyl, 1-6C alkyl, 1-6C alkoxy, 3-8C cycloalkyl, CF<sub>3</sub>, OCF<sub>3</sub>, NR<sub>5</sub>R<sub>6</sub> or OCONR<sub>5</sub>R<sub>6</sub> or 2 adjacent substituents form O-(CH<sub>2</sub>)<sub>1-30</sub>), and

R<sub>3</sub>-R<sub>8</sub> = H, 1-6C alkyl, 3-8C cycloalkyl, 1-6C alkanoyl or aryl, or NR<sub>3</sub>R<sub>4</sub>, NR<sub>5</sub>R<sub>6</sub> and NR<sub>7</sub>R<sub>8</sub> = 4-7 membered optionally saturated azetidiny, pyrrolidinyl, piperidyl or azepanyl.

An INDEPENDENT CLAIM is included for new compounds of formula (I'), provided that:

(1) when m, n and o are 0, then R<sub>1</sub> is not cyclopentyl, cyclohexyl, ethyl or methyl, and

(2) methyl-pyrrolidin-3-yl-carbamic acid 4-nitro-benzyl ester, 2-(2-(4-chlorophenoxymethyl)-1-methyl-1H-indol-3-yl)-N-methyl-N-(1-methyl-pyrrolidin-3-yl)-2-oxo-acetamide, N-butyl-2-(4-chlorophenoxy)-N-(1-ethyl-pyrrolidin-3-yl)-acetamide, 2-(2-(4-chloro-phenoxymethyl)-1-methyl-1H-indol-3-yl)-N-methyl-N-(1-methyl-piperidin-3-yl)-2-oxo-acetamide, 2-(1H-indol-3-yl)-N-(1-methylpiperidin-3-yl)-acetamide, 3-(1H-indol-3-yl)-N-(1-

methylpiperidin-3-yl)-propionamide and 2-(3,4-dichloro-phenyl)-N-methyl-N-(1-methyl-piperidin-3-yl)-acetamide are excluded.

Xa = (CH<sub>2</sub>)<sub>m</sub>-(Za)<sub>n</sub>-(CH<sub>2</sub>)<sub>o</sub>, and

Za = O, NH, N(CH<sub>3</sub>), C(=O), CH(OH), CH(O-(1-6C) alkyl), C(=N-OH), S, S(=O), S(=O)<sub>2</sub>, CH=CH or C equivalent to C.

ACTIVITY - Anorectic; Anabolic; Antidiabetic; Antiallergic; Antiinflammatory; Antiulcer; Nootropic; Neuroprotective; Tranquilizer; Antiemetic; Antiasthmatic; Antilipemic; Cardiant; Osteopathic; Antiarthritic; Auditory; Cytostatic.

MECHANISM OF ACTION - Histamine H<sub>3</sub> receptor agonist; Histamine H<sub>3</sub> receptor antagonist; Histamine H<sub>3</sub> inverse agonist.

In a (35S)GTP gamma S assay, (I) exhibited IC<sub>50</sub>/EC<sub>50</sub> values of less than 10 mu M, especially less than 500 nM for binding affinity to the human, monkey or rat histamine H<sub>3</sub> receptor.

USE - Used for treating and/or preventing diseases and disorders related to H<sub>3</sub> histamine receptor e.g. overweight or obesity, eating disorders (e.g. bulimia and binge eating), impaired glucose tolerance, type 2 diabetes, allergic rhinitis, ulcer, anorexia, Alzheimer's disease, narcolepsy and attention deficit disorder, for the delaying or preventing the progression from non-insulin requiring type 2 diabetes to insulin requiring type 2 diabetes, for reducing weight and suppressing appetite or satiety induction (all claimed). (I) Are also used for treating dementia, motion sickness, vertigo, irritable bowel syndrome, gall bladder disease, cancer of breast, prostate and colon, narcolepsy, attention deficit disorder, airway disorders (e.g. asthma), dyslipidemia, coronary heart disease and osteoarthritis.

ADVANTAGE - (I) Have high and selective binding affinity to the histamine H<sub>3</sub> receptor.

Dwg.0/0

L29 ANSWER 4 OF 11 JAPIO (C) 2006 JPO on STN  
 ACCESSION NUMBER: 1993-058999 JAPIO  
 TITLE: CARBAMIC ACID DERIVATIVE AND ITS PRODUCTION  
 INVENTOR: TAKANO YASUO; TAKADOI MASANORI; HIRAYAMA TAKASHI;  
 YAMANISHI MITSUHIRO  
 PATENT ASSIGNEE(S): KYORIN PHARMACEUT CO LTD  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 05058999	A	19930309	Heisei	C07D211-40

#### APPLICATION INFORMATION

STN FORMAT: JP 1992-30071 19920121  
 ORIGINAL: JP04030071 Heisei  
 PRIORITY APPLN. INFO.: JP 1991-31922 19910131  
 SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 1993

AN 1993-058999 JAPIO

AB PURPOSE: To efficiently obtain the subject derivative, having anti-amnesic activity, effective in improving symptoms of Alzheimer type geriatric dementia, etc., having high safety and useful as an antidement agent, etc., by reacting a specific piperidine compound with an amino compound in the presence of a condensing agent.  
 CONSTITUTION: A compound expressed by formula I [Ar is (substituted) aromatic heterocyclic ring or (substituted)phenyl; X is S or O] [e.g. 1-(4-pyridyl)-4- piperidinol] is dissolved in methylene chlormde, etc., and a compound expressed by formula II [R<SP>1</SP> is H or lower alkyl; R<SP>2</SP> is (substituted)lower alkyl,

10/523478

(substituted) 7 phenyl, naphthyl, etc.] (e.g. N-methyl-4-chloroaniline) and a condensing agent (e.g. trichloromethyl chloroformate) are dropped and reacted with the above-mentioned compound expressed by formula I in the presence of triethylamine, etc., to afford the objective carbamic acid derivative expressed by formula III (Y s O or S) (e.g. [4-(1-(4-pyridyl)piperidyl)] N-methyl-4-chlorophenylcarbamate).

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L29 ANSWER 5 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 1983-747836 [35] WPIDS  
 DOC. NO. CPI: C1983-081878  
 TITLE: O-aryl N-oxalyl-N-methyl carbamate ester derivs. -  
 useful as insecticides, acaricides and nematocides.  
 DERWENT CLASS: C02 C03 D22 E19  
 INVENTOR(S): BEHRENZ, W; HAMMANN, I; HEYWANG, G; HOMEYER, B;  
 KUHLE, E  
 PATENT ASSIGNEE(S): (FARB) BAYER AG  
 COUNTRY COUNT: 23  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 3205195	A	19830825	(198335)*		36
EP 87000	A	19830831	(198336)	GE	
R: AT BE CH DE FR GB IT LI NL SE					
AU 8311332	A	19830818	(198340)		
JP 58148805	A	19830905	(198341)		
DK 8300619	A	19831017	(198348)		
BR 8300748	A	19831116	(198402)		
ZA 8300949	A	19830920	(198405)		
PT 76182	A	19840223	(198412)		
HU 31971	T	19840628	(198430)		
DD 208536	A	19840404	(198431)		
ES 8404320	A	19840716	(198438)		
CS 8300955	A	19840917	(198501)		
US 4507292	A	19850326	(198515)		
EP 87000	B	19851113	(198546)	GE	
R: AT BE CH					
DE 3361189	G	19851219	(198601)		
IL 67880	A	19851129	(198602)		
US 4602033	A	19860722	(198632)		
CA 1242727	A	19881004	(198844)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 87000	A	EP 1983-100864	19830131
ZA 8300949	A	ZA 1983-949	19830211
US 4507292	A	US 1983-461368	19830127
US 4602033	A	US 1985-688492	19850103

PRIORITY APPLN. INFO: DE 1982-3205195 19820213  
 AN 1983-747836 [35] WPIDS  
 AB DE 3205195 A UPAB: 19930925  
 Aryl carbamates of formula (I) are new

Searcher : Shears 571-272-2528

SCO.CO.N(CH3).COOR1 (I)

In (I), X is alkoxy, alkenoxy, alkynoxy, alkylthio, aryloxy or arylthio, all opt. substd., or NR3R4. R3 and R4 are each H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl, all opt. substd., or together they complete an opt. substd. heterocycle. R1 is opt. substd. aryl.

Also new are the corresp. chlorides (II), where X is replaced by chloro.

(I) have insecticidal, acaricidal and nematocidal activities with low toxicity to warm-blooded animals and better activity than known N-carboxylated N-methylcarbamates. They can be used in agriculture, forestry, for protecting materials and in hygienic applications. (I) have excellent residual activity on wood and clay and are resistant to alkali on white-washed surfaces. (II) are intermediates for (I).

0/0

ABEQ EP 87000 B UPAB: 19930925

N-Oxalyl-N-methyl-**carbamic** acid aryl esters of the formula (I) in which R1 represents phenyl, 2-isopropylphenyl, 3-isopropylphenyl, 2-isopropoxyphenyl, 3,5-dimethyl-4-methylmercaptophenyl, 3-methyl-4-dimethylamino-phenyl, 4-nitrophenyl, 2-allyloxyphenyl, 3-sec.-butyl-4-methyl-phenyl, 4-methyl-3-isopropylphenyl, 2-dimethylaminophenyl, 2-(1',3'-di-oxolan-2-yl)-phenyl, 2-(4',5'-dimethyl-1',3'-dioxolan-2'-yl)-phenyl, naphth-1-yl, 4-(1,1-dimethyl-indanyl), 2,2-dimethyl-benzodioxolanyl or 2,2-dimethyl-2,3-dihydrobenzo-furanyl-(7) and represents methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, sec.-butoxy, tert.-butoxy, pentoxy, isopentoxy, hexoxy, isohexoxy, cyclopentoxy, cyclohexoxy, allyloxy, but-2-enyloxy, but-3-enyloxy, propargyloxy, but-2-inyloxy, but-3-inyloxy, 2-chloroethoxy, 2,2,2-trichloroethoxy, 2-fluoroethoxy, 2,2,2-trifluoroethoxy, 2-cyanoethoxy, 2-nitroethoxy, 2-methoxyethoxy, 2-di-methylaminoethoxy, phenoxy, 4-**chlorophenoxy**, 4-methylphenoxy, 4-methoxyphenoxy, 4-di-methylaminophenoxy, 1-naphthoxy, 2-naphthoxy or methylthio, ethylthio, butylthio, phenylthio, 4-**chlorophenylthio**, 4-methylphenylthio or amino, methylamino, dimethylamino, ethylamino, diethylamino, propylamino, isopropylamino, dipropylamino, diisopropylamino, butylamino, isobutylamino, dibutylamino, diisobutylamino, pyrrolidino, **piperidino**, morpholino, thiomorpholino, N-methylcyclohexylamino, N-phenylamino, N-methyl-N-phenylamino, diphenylamino, 4-methylphenylamino, N-methyl-N-4-methylphenylamino, N-methyl-N 4-methoxyphenylamino or N-methyl-N-4-**chlorophenylamino**.

ABEQ US 4507292 A UPAB: 19930925

Aryl N-oxalyl N-methylcarbamates of formula (I) are new. R' is phenyl, naphthyl, benzodioxolanyl, dihydrobenzofuranyl or indanyl. The last 3 radicals are attached via the aromatic ring thereof, the foregoing (sic) opt. being substd. by lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, lower alkenoxy, lower alkynoxy, lower alkylthio, lower alkenylthio, lower alkynylthio, di lower alkylamino, halo lower alkyl, halogen, NO2, CN, cyclo (lower alkyl), dioxanyl and/or dioxolanyl. X is NR3R4. R3 and R4 are H, 1-6C alkyl, 3-6C alkenyl, 3-6C alkynyl, 3-6C cycloalkyl or 4-6C cycloalkenyl, each of which is opt. substd. by halogen, CN, NO2, NH2, OH, lower alkoxy or di lower alkylamino, or represent a phenyl radical which is opt. substd. by 1-4C alkyl, halogen, CN, NO2, 1-4C alkoxy or 1-4C dialkylamine (sic); or R3 + R4 form (CH2)n, where n = 2-6, or (CH2)2-Y-(CH2)2 Y is O,S,SO or sulphone, or N.

USE - As arthropodicides and nematocides.

ABEQ US 4602033 A UPAB: 19930925



In (I) X is alkoxy, alkenoxy, alkynoxy, alkylthio, aryloxy or arylthio, all opt. substd. or NR3R4 R3 and R4 are each H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl all opt. substd, or together they complete an opt. substd. heterocycle. R1 is is opt. substd. aryl.

Also new are the corresp. chlorides (II), where X is replaced by chloro.

USE/ADVANTAGE - (I) have insecticidal, acaricidal and nematocidal activities with low toxicity to worm-blood animals and better activity then known N-carboxylated N-methylcarbamates.

They can be used in agriculture, forestry, for protecting materials and in hygienic applications. (I) have excellent residual activity on wood and clay and are resistant to alkali on white-washed surface. (II) are intermediates for (I).

L29 ANSWER 6 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 1982-31696E [16] WPIDS  
 TITLE: Antidiarrhoeal **piperidine** butylamide derivative  
 preparation - by reacting 4-(4-chloro  
 phenyl)-1-(3,3-diphenyl-1-propyl) -4-  
**piperidinol** with di methyl **carbamic**  
 acid halide and hydrolysing.  
 DERWENT CLASS: B02 C02  
 PATENT ASSIGNEE(S): (JANC) JANSSEN PHARM NV  
 COUNTRY COUNT: 1  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 57042671	A	19820310	(198216)*		4
JP 63045382	B	19880909	(198840)		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 57042671	A	JP 1980-114597	19800820

PRIORITY APPLN. INFO: JP 1980-114597 19800820  
 AN 1982-31696E [16] WPIDS  
 AB JP 57042671 A UPAB: 19930915  
 Production of 4-(4-chlorosoenyl) -4-hydroxy-N,N-dimethyl  
 alpha,alpha-diphenyl-1 -piperidine-butaneamide and its acid addition  
 salt, comprises reacting 4--(4-chlorophenyl)-1 (3,3-diphenyl  
 -1-propyl)-4-piperidinol with dimethylcarbamic acid halide,  
 hydrolysing product, and converting obtd. cpd. to acid addition salt.  
 The cpd. obtd. and known as loperamide is a useful antidiarrhoeal  
 agent for humans and animals.

L29 ANSWER 7 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 \*\*\*\*\* DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L29 ANSWER 8 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 \*\*\*\*\* DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L29 ANSWER 9 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 \*\*\*\*\* DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

10/523478

L29 ANSWER 10 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
\*\*\*\* DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

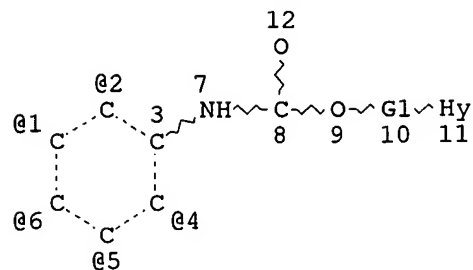
L29 ANSWER 11 OF 11 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
\*\*\*\* DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

=> fil hom

FILE 'HOME' ENTERED AT 17:07:54 ON 24 APR 2006

10/523478

=> d que stat 12; d que stat 113; d his ful  
L1 STR



REP G1=(1-2) C  
VPA 13-1/2/4/5/6 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 11  
ECOUNT IS E3 C E1 N E1 S AT 13

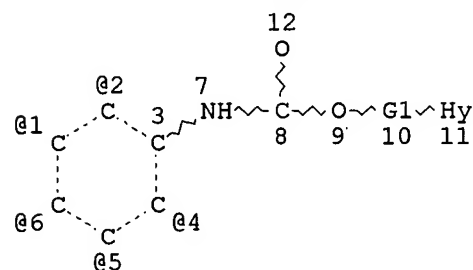
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE  
L2 151 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 176640 ITERATIONS  
SEARCH TIME: 00.00.03

151 ANSWERS

L8 STR



REP G1=(1-2) C  
VPA 13-1/2/4/5/6 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 11 13  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 11  
ECOUNT IS E3 C E1 N E1 S AT 13

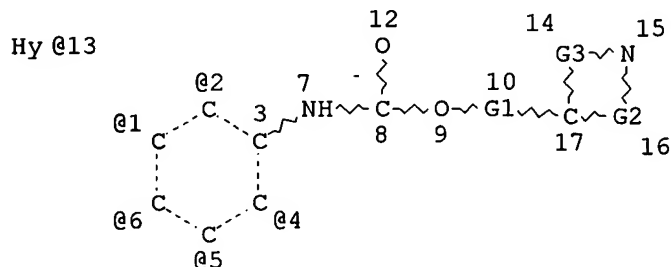
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 13

10/523478

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

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L10          42 SEA FILE=MARPAT SSS FUL L8 (MODIFIED ATTRIBUTES)
L11          STR
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REP G1=(1-2) C
REP G2=(1-2) C
REP G3=(1-2) C
VPA 13-1/2/4/5/6 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 13
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E3 C E1 N E1 S AT 13

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GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

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L12      12 SEA FILE=MARPAT SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)
L13      9 SEA FILE=MARPAT ABB=ON PLU=ON L12/COMPLETE
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(FILE 'CAPLUS' ENTERED AT 16:52:37 ON 24 APR 2006)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 16:53:19 ON 24 APR 2006  
ACT GEMB5234/A

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L1          STR
L2          151 SEA SSS FUL L1

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FILE 'REGISTRY' ENTERED AT 16:53:37 ON 24 APR 2006  
D OUE STAT

FILE 'CAPLUS' ENTERED AT 16:53:37 ON 24 APR 2006

Searcher : Shears 571-272-2528

10/523478

L3 4 SEA ABB=ON PLU=ON L2  
L4 2 SEA ABB=ON PLU=ON L3 NOT (PY=>2002 OR PD=>20020806)  
SEL HIT L4 1-2 RN  
D L4 1-2 IBIB ABS HITSTR

FILE 'CAOLD' ENTERED AT 16:54:30 ON 24 APR 2006  
L5 0 SEA ABB=ON PLU=ON L2

FILE 'USPATFULL' ENTERED AT 16:54:35 ON 24 APR 2006  
L6 3 SEA ABB=ON PLU=ON L2  
D 1-3 IBIB ABS

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 16:54:49 ON 24 APR 2006  
L7 0 SEA ABB=ON PLU=ON L2

FILE 'MARPAT' ENTERED AT 16:54:55 ON 24 APR 2006  
L8 STR L1  
L9 1 SEA SSS SAM L8 (MODIFIED ATTRIBUTES)  
L10 42 SEA SSS FUL L8 (MODIFIED ATTRIBUTES)  
L11 STR L8  
L12 12 SEA SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)  
L13 9 SEA ABB=ON PLU=ON L12/COMPLETE  
D QUE STAT  
D L13 1-9 .BEVMAR1

FILE 'REGISTRY' ENTERED AT 16:59:28 ON 24 APR 2006  
L14 315199 SEA ABB=ON PLU=ON ?CARBAMIC ACID?/CNS  
L15 936652 SEA ABB=ON PLU=ON ?PIPERIDIN?/CNS  
L16 17659 SEA ABB=ON PLU=ON L14(L)L15  
L17 1147742 SEA ABB=ON PLU=ON ?THIAZOL?/CNS  
L18 567 SEA ABB=ON PLU=ON L16(L)L17  
D KWIC  
L19 4274872 SEA ABB=ON PLU=ON ?CHLORO?/CNS  
L20 61 SEA ABB=ON PLU=ON L18(L)L19  
D KWIC

FILE 'CAPLUS' ENTERED AT 17:01:05 ON 24 APR 2006  
L21 21 SEA ABB=ON PLU=ON L20  
L22 199 SEA ABB=ON PLU=ON (4(W)(CL OR CHLORO?))(S) CARBAMIC  
L23 11 SEA ABB=ON PLU=ON L22(S) PIPERIDIN?  
D KWIC  
D KWIC 2  
L24 32 SEA ABB=ON PLU=ON (L21 OR L23) NOT L4  
L25 8 SEA ABB=ON PLU=ON L24 NOT (PY=>2002 OR PD=>20020806)  
D 1-8 .BEVSTR

FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,  
JICST-EPLUS, JAPIO' ENTERED AT 17:03:31 ON 24 APR 2006  
L26 5 SEA ABB=ON PLU=ON L20  
L\*\*\* DEL 5 DUP REM L26 (0 DUPLICATES REMOVED)  
D 1-5 IBIB ABS

FILE 'HOME' ENTERED AT 17:04:13 ON 24 APR 2006

FILE 'WPIDS' ENTERED AT 17:04:31 ON 24 APR 2006  
L\*\*\* DEL 5 S L20

FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,  
JICST-EPLUS, JAPIO' ENTERED AT 17:05:32 ON 24 APR 2006

Searcher : Shears 571-272-2528

10/523478

L27           6 SEA ABB=ON   PLU=ON   L23  
L28           11 SEA ABB=ON   PLU=ON   L26 OR L27  
L29           11 DUP REM L28 (0 DUPLICATES REMOVED)  
              D 1-11 IBIB ABS

FILE 'HOME' ENTERED AT 17:06:42 ON 24 APR 2006

FILE 'WPIDS' ENTERED AT 17:06:57 ON 24 APR 2006  
L30           5 SEA ABB=ON   PLU=ON   L18(L)L19  
              D 1-5 IBIB ABS

FILE 'HOME' ENTERED AT 17:07:54 ON 24 APR 2006  
              D QUE-STAT L2  
              D QUE STAT L13

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES:   23 APR 2006   HIGHEST RN 881543-45-9  
DICTIONARY FILE UPDATES:   23 APR 2006   HIGHEST RN 881543-45-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMI for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

#### FILE CAPLUS

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FILE COVERS 1907 - 24 Apr 2006 VOL 144 ISS 18  
FILE LAST UPDATED: 23 Apr 2006 (20060423/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply  
They are available for your review at:

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FILE CAOLD  
FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE USPATFULL  
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 20 Apr 2006 (20060420/PD)  
FILE LAST UPDATED: 20 Apr 2006 (20060420/ED)  
HIGHEST GRANTED PATENT NUMBER: US7032245  
HIGHEST APPLICATION PUBLICATION NUMBER: US2006085880  
CA INDEXING IS CURRENT THROUGH 20 Apr 2006 (20060420/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 20 Apr 2006 (20060420/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2006

FILE MEDLINE  
FILE LAST UPDATED: 22 APR 2006 (20060422/UP). FILE COVERS 1950 TO DA

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>).  
See also:

<http://www.nlm.nih.gov/mesh/>  
[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)  
[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_med\\_data\\_changes.ht](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.ht)  
[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_2006\\_MeSH.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html)

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 19 April 2006 (20060419/ED)

FILE EMBASE

FILE COVERS 1974 TO 24 Apr 2006 (20060424/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default)  
and biweekly.

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 144 ISS 16 (20060421/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006035965	16	FEB	2006
DE	102005008856	09	FEB	2006
EP	1624071	08	FEB	2006
JP	2006050780	16	FEB	2006
WO	2006026533	09	MAR	2006
GB	2416167	18	JAN	2006
FR	2874024	10	FEB	2006
RU	2269538	10	FEB	2006
CA	2512063	14	JAN	2006

Expanded G-group\_definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

FILE WPIDS

FILE LAST UPDATED: 21 APR 2006 <20060421/UP>  
MOST RECENT DERWENT UPDATE: 200626 <200626/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,  
PLEASE VISIT:  
[http://www.stn-international.de/training\\_center/patents/stn\\_guide.pdf](http://www.stn-international.de/training_center/patents/stn_guide.pdf)

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE  
<http://scientific.thomson.com/support/patents/coverage/latestupdates/>

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE  
[http://www.stn-international.de/stndatabases/details/ipc\\_reform.html](http://www.stn-international.de/stndatabases/details/ipc_reform.html) a  
<http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf> <<<



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>>> UPCOMING NEW DWPI: EFFECTS ON SCRIPT RUNS - SEE NEWS MESSAGE <<<

FILE CONFSCI

FILE COVERS 1973 TO 10 Apr 2006 (20060410/ED)

CSA has resumed updates, see NEWS FILE

FILE SCISEARCH

FILE COVERS 1974 TO 20 Apr 2006 (20060420/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE JICST-EPLUS

FILE COVERS 1985 TO 24 APR 2006 (20060424/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO

FILE LAST UPDATED: 3 APR 2006 <20060403/UP>

FILE COVERS APRIL 1973 TO DECEMBER 22, 2005

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOT YET AVAILABLE IN THIS FILE.  
USE IPC7 FORMAT FOR SEARCHING THE IPC. WATCH THIS SPACE FOR FURTHER  
DEVELOPMENTS AND SEE OUR NEWS SECTION FOR FURTHER INFORMATION  
ABOUT THE IPC REFORM <<<

FILE HOME